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* * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:56:07 ON 09 MAR 2006

=> file reg

=> s moxifloxacin monohydrochloride/cn
L1            0 MOXIFLOXACIN MONOHYDROCHLORIDE/CN

=> s (moxifloxacin monohydrochloride)/cn
L2            0 (MOXIFLOXACIN MONOHYDROCHLORIDE)/CN

=> s (moxifloxacin)/cn
L3            1 (MOXIFLOXACIN)/CN

=> s moxifloxacin
L4            3 MOXIFLOXACIN

=> d l4 2
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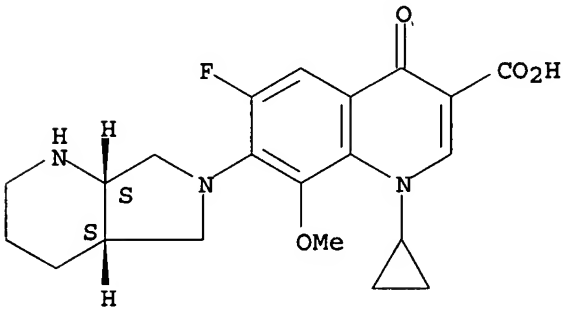
RN 186826-86-8 REGISTRY
ED Entered STN: 07 Mar 1997
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-4-oxo-, monohydrochloride, (4aS-cis)-
OTHER NAMES:
CN Actira
CN Avalox
CN Avelox
CN BAY 12-8039
CN Lapinix
CN **Moxifloxacin hydrochloride**
CN Octegra
FS STEREOSEARCH
MF C21 H24 F N3 O4 . Cl H
SR CA
LC STN Files: ANABSTR, BIOSIS, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PATDPASPC, PHAR, PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
CRN (151096-09-2)

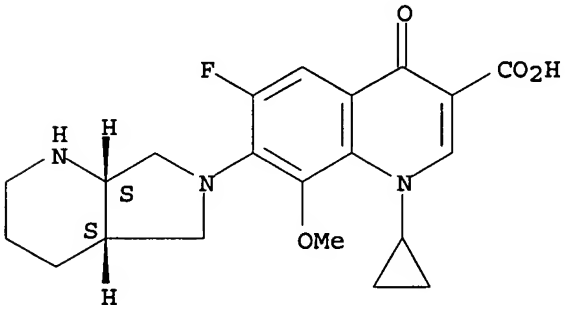
Absolute stereochemistry. Rotation (-).



● HCl

RN 186826-86-8 REGISTRY
ED Entered STN: 07 Mar 1997
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-4-oxo-, monohydrochloride, (4aS-cis)-
OTHER NAMES:
CN Actira
CN Avalox
CN Avelox
CN BAY 12-8039
CN Lapinix
CN **Moxifloxacin hydrochloride**
CN Octegra
FS STEREOSEARCH
MF C21 H24 F N3 O4 . Cl H
SR CA
LC STN Files: ANABSTR, BIOSIS, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PATDPASPC, PHAR, PROUSDDR, PS, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
CRN (151096-09-2)

Absolute stereochemistry. Rotation (-).

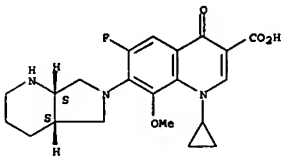


● HCl

L4 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN

RN 186826-86-8 REGISTRY
ED Entered STN: 07 Mar 1997
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-
[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-
(octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-4-oxo-, monohydrochloride,
(4aS-cis)-
OTHER NAMES:
CN Actira
CN Avalox
CN Avelox
CN BAY 12-8039
CN Lapinix
CN **Moxifloxacin hydrochloride**
CN Octegra
FS STEREOSEARCH
MF C21 H24 F N3 O4 . Cl H
SR CA
LC STN Files: ANABSTR, BIOSIS, CA, CAPLUS, CASREACT, CBNB, CHEMCATS,
IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PATDPASPC, PHAR, PROUSDDR, PS,
RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
CRN (151096-09-2)

Absolute stereochemistry. Rotation (-).



● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

56 REFERENCES IN FILE CA (1907 TO DATE)
56 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN (Continued)

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=> s 186826-86-8/rn
L10           1 186826-86-8/RN

=> file ca


=> s l10
L11          56 L10

=> d ibib abs fhitstr 1-56
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L11 ANSWER 1 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 144:74878 CA
TITLE: Lyophilized pharmaceutical composition comprising moxifloxacin hydrochloride
INVENTOR(S): Sehgal, Ashish; Srivastava, Jyoti; Arora, Vinod Kumar
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123137	A2	20051229	WO 2005-IB1716	20050617

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2004-DE1150 A 20040618

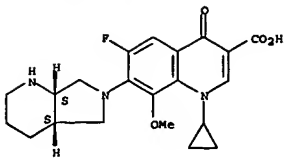
AB The present invention relates to a stable lyophilized pharmaceutical composition comprising moxifloxacin hydrochloride as an active drug substance and the use of the preparation for preventing or treating bacterial infections. For example, a solution was formulated containing moxifloxacin hydrochloride 400 mg, L-arginine (solubilizing agent) 112.5 mg, and water for injection q.s. to 5.7 mL. The solution was filled in vials and lyophilized. The lyophilized dry powder was reconstituted with 13.3 mL of Na lactate injection, and further diluted up to 250 mL with Na lactate injection before use.

IT 186826-86-8, Moxifloxacin hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lyophilized parenterals containing moxifloxacin and solubilizers)

RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 1 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



● HCl

L11 ANSWER 2 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:242370 CA
TITLE: Effect of recombinant murine granulocyte colony-stimulating factor with or without fluoroquinolone therapy on mixed-infection abscesses in mice
AUTHOR(S): Stearne, Lorna E. T.; Vonk, Alieke G.; Kullberg, Bart Jan; Gyssens, Inge C.
CORPORATE SOURCE: Department of Medical Microbiology & Infectious Diseases, Erasmus MC University Medical Center, Rotterdam, Neth.
SOURCE: Antimicrobial Agents and Chemotherapy (2005), 49(9), 3668-3675
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

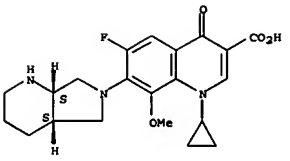
AB The aim of the study was to determine if immunomodulation of host defense with recombinant murine granulocyte colony-stimulating factor (G-CSF) improves the efficacy of trovafloxacin or moxifloxacin in abscesses containing Bacillus fragilis ATCC 23745 and different Escherichia coli strains varying in virulence. Treatment of mice inoculated with 107 CFU B. fragilis and 105 CFU low-virulence E. coli with either trovafloxacin (150 mg/kg/day every 24 h, days 3 to 7) or moxifloxacin (96 mg/kg/day every 12 h, days 3 to 7), significantly reduced the number of B. fragilis to 6.9±0.35 and 5.8±0.10 and that of E. coli to 4.9±0.09 and 4.2±0.07 log CFU/abscess for trovafloxacin and moxifloxacin, resp., compared to controls (B. fragilis 8.7 and E. coli 7.4 log CFU/abscess) on day 8. Also, moxifloxacin was more potent than trovafloxacin. Addition of G-CSF prophylaxis (1 μg once on day -1) or therapy (1 μg/day on days 3 to 7) to fluoroquinolone treatment did not improve the efficacy of fluoroquinolone therapy alone. The effect of moxifloxacin with or without G-CSF prophylaxis on abscesses with a virulent hemolytic E. coli strain was also studied. In moxifloxacin-treated mice, 75% survived infection compared to 10% of controls. Combining moxifloxacin with G-CSF prophylaxis significantly decreased survival (30%) compared to moxifloxacin alone. In addition, G-CSF prophylaxis resulted in a threefold (E. coli) to 100-fold (B. fragilis) increased outgrowth in the abscesses of surviving mice. In conclusion, the addition of G-CSF to a fluoroquinolone is not advisable since, depending on the virulence of the E. coli strains, this might detrimentally influence the outcome of therapy.

IT 186826-86-8, Avelox
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rG-CSF with or without fluoroquinolone therapy for mixed-infection abscesses)

RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 2 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

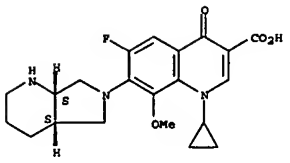
L11 ANSWER 3 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:222402 CA
TITLE: Reproductive and developmental effects of moxifloxacin on female mice and embryos
AUTHOR(S): Roshdy, Hanaa M.
CORPORATE SOURCE: Cell Biology Department, National Research Center Dokki, Cairo, Egypt
SOURCE: Egyptian Journal of Hospital Medicine (2004), 17, 12-19
CODEN: EJHMBB
URL: http://www.geocities.com/hospital1008/17/2.pdf
PUBLISHER: Egyptian Journal of Hospital Medicine
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

AB Moxifloxacin (Avelox) is a fluoroquinolone antibiotic with a broad spectrum of activity and bactericidal action. Moxifloxacin has in vitro activity against a wide range of Gram-pos. and Gram-neg. organisms. The safe use of moxifloxacin in human pregnancy has not been established. In order to evaluate the genotoxic and embryo toxic effects of (Avelox) during pregnancy, Avelox was administrated orally to female mice with doses (8.7, 17 and 26 mg/kg/day) from 1 to 17 days of pregnancy. Caesarean sections were completed on gestation day 18 and complete fetal examns. and cytogenetic anal. were conducted. Decreases in the fetal body wts. and increases in the external visceral and skeletal anomalies were found in all doses of (8.7, 17 and 26 mg) Avelox compared to the controls. Cytogenetic anal. in mothers and embryos revealed that all the tests doses produced chromosomal aberrations and micronuclei (MN) formations in a dose dependent manner compared to the controls. These results indicate that Avelox has a maternal and embryotoxic effects on the female mice and their embryos when administered with a recommended and above the recommended dose during pregnancy.

IT 186826-86-8, Avelox
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(moxifloxacin administration during pregnancy decreased fetal body weight, increased external, visceral and skeletal anomalies and produced chromosomal aberrations and micronuclei formation in mouse)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 3 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

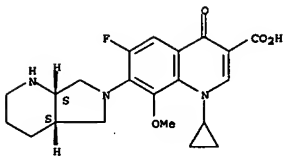
L11 ANSWER 4 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:216848 CA
TITLE: Biological assay and liquid chromatographic method for analysis of moxifloxacin in tablets
AUTHOR(S): Guerra, Fanny L. B.; Paim, Clesio S.; Steppe, Martin; Schapoval, Elfrides E. S.
CORPORATE SOURCE: Universidade Federal do Rio Grande do Sul, Faculdade de Farmacia, Programa de Pos-Graduacao em Ciencias Farmaceuticas, Porto Alegre, CEP 90610-000, Brazil
SOURCE: Journal of AOAC International (2005), 88(4), 1086-1092
CODEN: JAINEE; ISSN: 1060-3271
PUBLISHER: AOAC International
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A microbiol. assay and a liquid chromatog. method were validated for quantitation of moxifloxacin in tablets. The microbiol. method consisted of a cylinder-plate agar diffusion assay using Micrococcus luteus ATCC 9341 as the test microorganism and phosphate buffer (0.1M, pH 8.0) as the diluent solution The response graphs for standard and sample solns. were linear (r = 0.9479), and no parallelism deviations were detected in the tested levels of concentration (4.0, 8.0, and 16.0 μg/mL). The interday precision was 2.73%. Recovery values were between 96.25 and 100.5%. The chromatog. analyses were performed using a Shim-pack CLC-ODS column (250 + 4.6 mm, 5 μm) with a mobile phase consisting of (A) a mixture of phosphoric acid (0.17%, volume/volume) with tetramethylammonium hydroxide (0.05M) and acetonitrile (95 + 5, volume/volume) and (B) MeOH (55 + 45, volume/volume) adjusted to pH 3.0. The flow rate was 1.0 mL/min, and detection was made at 294 nm. The method was linear in a range from 12.0 to 42 μg/mL (r = 0.9999), and the interday precision was 1.39%. Recovery ranged between 101.9 and 103.81%. Both validated methods were used to quantify the moxifloxacin content in tablets exposed to UV radiation, and similar results were obtained.

IT 186826-86-8, Avalox
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(biol. assay and liquid chromatog. method for anal. of moxifloxacin in tablets)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 4 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

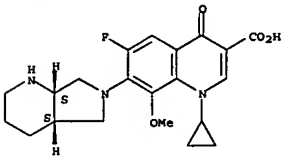
L11 ANSWER 5 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:138831 CA
TITLE: Protonation equilibrium and lipophilicity of moxifloxacin
AUTHOR(S): Langlois, Marie-Helene; Montagut, Martine; Dubost, Jean-Pierre; Grellet, Jean; Saux, Marie-Claude
CORPORATE SOURCE: Laboratory of Analytical Chemistry, Bordeaux II University, Bordeaux, Fr.
SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2005), 37(2), 389-393
CODEN: JPBADA; ISSN: 0731-7085
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This study was performed to characterize the protonation equilibrium at the mol. level and pH-dependent lipophilicity of moxifloxacin. After determining macro- and micro-consts., distribution features of 4 microspecies in aqueous phase were assessed. The apparent partition coefficient vs. pH profile of moxifloxacin showed a parabolic curve in n-octanol/buffer system which reached near pI. The true partition coefficient was calculated from the log Papp and microconstants values.

IT 186826-86-8, Moxifloxacin hydrochloride
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(protonation equilibrium and lipophilicity of moxifloxacin)

RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L11 ANSWER 5 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:48135 CA
TITLE: Process for the preparation of polymorphic crystalline forms of the antibiotic moxifloxacin hydrochloride
INVENTOR(S): Turchetta, Stefano; Massardo, Pietro; Aromatario, Valentina
PATENT ASSIGNEE(S): Chemi S.p.A., Italy
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054240	A1	20050616	WO 2004-EP52699	20041028

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

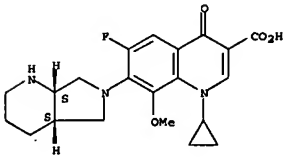
PRIORITY APPLN. INFO.: IT 2003-MI2259 A 20031120
US 2003-532779P P 20031224

AB A process for the preparation of polymorphic crystalline forms of the antibiotic moxifloxacin hydrochloride comprises: (A) suspending moxifloxacin hydrochloride in a solvent selected from an alc. and a polyalc.; (B) heating the mixture under reflux; (C) cooling; (D) isolating the product which is separated (crystal form A); and addnl., (E) reslurrying the solid at reflux in a solvent selected from alcs. and polyols, or their mixts. thereof, in which the resulting mixture has an overall water content of between 2.5% and 0.01% by weight; and (F) isolating the product (crystal form B). These moxifloxacin hydrochloride polymorphic crystalline forms have increased stability for use in pharmaceutical formulations.

IT 186826-86-8, Moxifloxacin hydrochloride
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(process for the preparation of polymorphic crystalline forms of the antibiotic moxifloxacin hydrochloride)

RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

L11 ANSWER 6 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry. Rotation (-).



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:435862 CA
TITLE: Water-based composition undergoing reversible thermogelation
INVENTOR(S): Suzuki, Hidekazu
PATENT ASSIGNEE(S): Wakamoto Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042026	A1	20050512	WO 2004-JP16500	20041101

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-371572 A 20031031

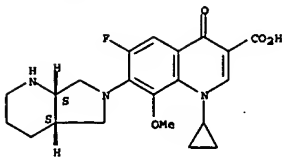
AB A water-based composition undergoing reversible thermogelation comprises a conventional water-based composition undergoing reversible thermogelation and added thereto at least one substance enhancing thixotropic properties, preferably at least one of sugar alcs., lactose, carmellose or a pharmaceutically acceptable salt thereof, and cyclodextrin. This composition can be stored at room temperature and is convenient for carrying. For example, an artificial tear was prepared containing Me cellulose, polyethylene glycol (Macrogol 4000), D-mannitol, Na citrate, aminoethylsulfonic acid, HCl (to pH 7.4), and distilled water.

IT 186826-86-8, Moxifloxacin hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(water-based compns. undergoing reversible thermogelation)

RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 7 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:329003 CA
TITLE: Penetration pharmacokinetics of topically administered 0.5% moxifloxacin ophthalmic solution in human aqueous and vitreous
AUTHOR(S): Hariprasad, Seenu M.; Blinder, Kevin J.; Shah, Gaurav K.; Apte, Rajendra S.; Rosenblatt, Brett; Holekamp, Nancy M.; Thomas, Matthew A.; Mieler, William F.; Chi, Jingduan; Prince, Randall A.
CORPORATE SOURCE: Barnes Retina Institute, Department of Ophthalmology and Visual Science, Washington University School of Medicine, St Louis, MO, USA
SOURCE: Archives of Ophthalmology (Chicago, IL, United States) (2005), 123(1), 39-44
CODEN: AROPAW; ISSN: 0003-9950
PUBLISHER: American Medical Association
DOCUMENT TYPE: Journal
LANGUAGE: English

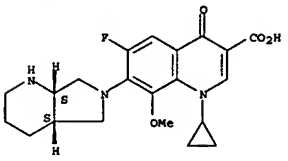
AB Objective: To investigate the penetration of 0.5% moxifloxacin hydrochloride into the aqueous and vitreous after topical administration in humans. Methods: A prospective, nonrandomized study of 20 patients scheduled for vitrectomy surgery between Sept. 1 and Dec. 31, 2003. Aqueous and vitreous samples were obtained and analyzed after topical administration of 0.5% moxifloxacin hydrochloride, every 2 h (q2h) or every 6 h (q6h), for 3 days before surgery. Assays were performed using high-performance liquid chromatog. Results: Mean ± SD moxifloxacin concns. in the q2h group for the aqueous (n=9) and vitreous (n=10) were 2.28 ± 1.23 and 0.11 ± 0.05 μg/mL, resp. Mean ± SD moxifloxacin concns. in the q6h group for the aqueous (n=10) and vitreous (n=9) were 0.88 ± 0.88 and 0.06 ± 0.06 μg/mL, resp. The min. inhibitory concentration for 90% of isolates (MIC90) was far exceeded in the aqueous for a wide spectrum of key pathogens, whereas it was not exceeded in the vitreous for several organisms. However, the min. inhibitory concentration for 50% of the isolates was exceeded in the q2h vitreous group for Staphylococcus epidermidis, Staphylococcus aureus, Streptococcus pneumoniae, Haemophilus influenzae, Bacillus cereus, and other gram-neg. pathogens. Conclusions: The Endophthalmitis Vitrectomy Study revealed that 94.2% of isolates from postoperative endophthalmitis are gram-pos. pathogens. Moxifloxacin has a spectrum of coverage that appropriately encompasses the most common organisms in endophthalmitis. The pharmacokinetic findings of this investigation show that relatively high aqueous levels can be achieved after topical administration. Further studies will help define the precise role of 0.5% moxifloxacin ophthalmic solution in the treatment of or prophylaxis against intraocular infections.

IT 186826-86-8, Moxifloxacin hydrochloride
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical administration of 0.5% moxifloxacin was well tolerated with far exceeding MIC90 values in aq. for wide-spectrum of causative gram-pos., gram-neg., anaerobic organism of endophthalmitis than vitreous in human)

RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 8 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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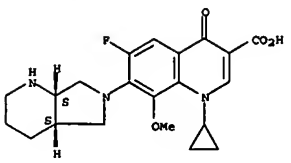
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:338556 CA
TITLE: Moxifloxacin: A review of its use in the management of bacterial infections
AUTHOR(S): Keating, Gillian M.; Scott, Lesley J.
CORPORATE SOURCE: Adis International Limited, Auckland, N. Z.
SOURCE: Drugs (2004), 64(20), 2347-2377
CODEN: DRUGAY; ISSN: 0012-6667
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Moxifloxacin (Avelox) is a fluoroquinolone antibacterial with a methoxy group in the C-8 position and a bulky C-7 side chain. Moxifloxacin is approved for use in the treatment of acute exacerbations of chronic bronchitis (AECB), community-acquired pneumonia (CAP), acute bacterial sinusitis and uncomplicated skin and skin structure infections (approved indications may differ between countries). Moxifloxacin has a broad spectrum of antibacterial activity, including activity against penicillin-resistant Streptococcus pneumoniae. It achieves good tissue penetration and has a convenient once-daily administration schedule, as well as being available in both i.v. and oral formulations in some markets. Moxifloxacin has good efficacy in the treatment of patients with AECB, CAP, acute bacterial sinusitis and uncomplicated skin and skin structure infections, and is generally well tolerated. Thus, moxifloxacin is an important option in the treatment of bacterial infections.
IT 186826-86-8, Avelox
RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(moxifloxacin in management of bacterial infections)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 9 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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REFERENCE COUNT: 181 THERE ARE 181 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:266830 CA
TITLE: Pharmaceutical compositions of moxifloxacin
INVENTOR(S): Singh, Romi Barat; Kumar, Pananchukunnath Manoj; Nagaprasad, Vishnubhotla; Sethi, Sanjeev Kumar; Malik, Rajiv
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020998	A1	20050310	WO 2004-IB2875	20040903

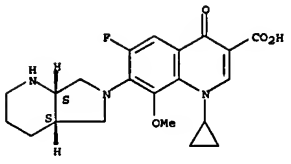
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2003-DE1099 A 20030903

AB The present invention relates to pharmaceutical compns. of moxifloxacin. The invention also relates to processes for the preparation of such compns. An intragranular portion comprised moxifloxacin-HCl 300, microcryst. cellulose 153, Croscarmellose sodium 25.00, PVP K-30 25.00, and water qs to 100 mg/tablet. The extragranular portion contained microcryst. cellulose 90.00, and Mg stearate 7.00 mg/tablet, and water qs.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. of moxifloxacin)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 10 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:219263 CA
TITLE: Process for preparation of Moxifloxacin hydrochloride monohydrate from Et 1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinolinecarboxylate via (4aS-cis)-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid (O3,O4)-bis(acyloxy)borate.
INVENTOR(S): Chava, Satyanarayana; Gorantla, Seeta Ramanjaneyulu; Vasireddy, Umamaheswara Rao; Dammalapati, Venkata Lakshmi Narasimharao
PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012285	A1	20050210	WO 2004-IN233	20040805

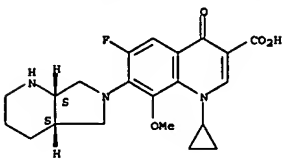
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2003-CH638 A 20030805
IN 2003-CH639 A 20030805

OTHER SOURCE(S): CASREACT 142:219263
AB A process for preparation of Moxifloxacin hydrochloride monohydrate comprises treatment of (4aS-cis)-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid (O3,O4)-bis(acyloxy) borate with hydrochloric acid to give Moxifloxacin hydrochloride, and treatment of the latter with HCl in EtOH.
IT 186826-86-8P, Moxifloxacin hydrochloride
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Moxifloxacin hydrochloride from Et cyclopropyldifluoromethoxyoxodihydroquinolinecarboxylate via cyclopropyldiazabicyclononylfluoromethoxyoxodihydroquinoline carboxylic acid bisacetyloxyborate)
RN 186826-86-8 CA

L11 ANSWER 11 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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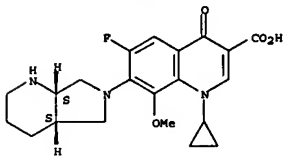
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:141407 CA
TITLE: Melting Point Determination for the Analysis of Drugs of the Fluoroquinolone Group
AUTHOR(S): Dorofeev, V. L.; Arzamastsev, A. P.; Veselova, O. M.
CORPORATE SOURCE: Sechenov Medical Academy, Moscow, Russia
SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2004), 38(6), 333-335
CODEN: PCJOAU; ISSN: 0091-150X
PUBLISHER: Springer Science+Business Media, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A method for the determination of fluoroquinolone drugs based on m.ps. was presented.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(determination of fluoroquinolone drugs using m.p. data)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:107364 CA
TITLE: Use of fluoroquinone antibiotics for the treatment of plague
INVENTOR(S): Brooks, Timothy John Gilby; Lever, Mark Stephen; Steward, Jacqueline
PATENT ASSIGNEE(S): The Secretary of State for Defence, UK
SOURCE: Brit. UK Pat. Appl., 43 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

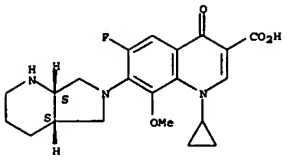
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2403653	A1	20050112	GB 2003-16139	20030710

PRIORITY APPLN. INFO.: GB 2003-16139 20030710

AB This invention relates to the use of a compound of the formula I: and pharmaceutically acceptable salts thereof, wherein R1 is selected from the group consisting of hydrogen, lower-alkyl, alkoxy, alkenyl, alkynyl, aryl, aryloxy, cycloalkyl, halogen, haloalkyl, amino, imino, nitro, cyano, formyl; wherein R2 is selected from the group comprising of heterocycle, substituted heterocycle, amino, imino; and wherein when R1 is hydrogen, R2 is not 1-piperazinyl and when R2 is 1-piperazinyl, R1 is not hydrogen, for the manufacture of a medicament for the treatment of plague. This invention also relates to the use of the aforementioned compds. for the manufacture of a medicament for prophylactic administration to prevent plague.
IT 186826-86-8, Avalox
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(moxifloxacin; fluoroquinone antibiotics for treatment of plague)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 13 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

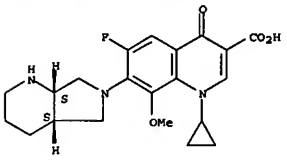
FORMAT

L11 ANSWER 14 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
(cryst. form III of anhyd. moxifloxacin hydrochloride)

RN 186826-86-8 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L11 ANSWER 14 OF 56 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 141:370574 CA

TITLE: Preparation of a crystalline form III of anhydrous moxifloxacin hydrochloride and a process for preparation thereof

INVENTOR(S): Reddy, Manne Satyanarayana; Eswaraiah, Sajja; Raju, Vetukuri Venkata Naga Kali Vara Prasada; Kumar, Rapolu Rajesh; Srinivasreddy, Ningam; Ravindra, Vedantham

PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091619	A1	20041028	WO 2004-US11031	20040409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521198	AA	20041028	CA 2004-2521198	20040409
US 2005137227	A1	20050623	US 2004-822154	20040409
EP 1615645	A1	20060118	EP 2004-759378	20040409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			IN 2003-MA308	A 20030409
			WO 2004-US11031	W 20040409

AB A new crystalline form III of moxifloxacin monohydrochloride (I) and processes for making the crystalline form as well as compns., pharmaceutical compns., and methods utilizing the crystalline form are described. Thus, I was prepared and soluble granules contained crystalline form III of anhydrous I 400, CaCO3800, citric acid 900, Avicel 40, mannitol 625, maltodextrin 15, aspartame 3, and aroma 20 mg.

IT 186826-86-8P, Moxifloxacin hydrochloride
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L11 ANSWER 15 OF 56 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 141:253577 CA

TITLE: Simultaneous determination of levofloxacin, gatifloxacin and moxifloxacin in serum by liquid chromatography with column switching

AUTHOR(S): Nguyen, Hoang Anh; Grellet, Jean; Ba, Boubakar B.; Quentin, Claudine; Saux, Marie-Claude

CORPORATE SOURCE: Faculte de Pharmacie (EA525), Laborstoire de Pharmacocinetique et de Pharmacie Clinique, Universite Victor Segalen Bordeaux 2, Bordeaux, 33076, Fr.

SOURCE: Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2004), 810(1), 77-83
CODEN: JCBAAI; ISSN: 1570-0232

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Liquid chromatog. with a column-switching technique was developed for simultaneous direct quantification of levofloxacin, gatifloxacin and moxifloxacin in human serum. Serum samples were injected on a LiChroCART 4-4 precolumn (PC) filled with a LiChrospher 100 RP-18, 5 μm where fluoroquinolones (FQs) were purified and concentrated The FQs were back-flushed from the PC and then separated on a Supelcosil ABZ+ Plus (150 mm + 4.6 mm i.d.) anal. column with a mobile phase containing 10 mM phosphate buffer (pH 2.5), acetonitrile (88:12, volume/volume) and 2 mM tetra-Bu ammonium bromide. The effects of ion-pair reagents, buffer type, pH and acetonitrile concns. in the mobile phase on the separation of the three FQs were investigated. Fluorescence detection provided sufficient sensitivity to achieve a quantification limit of 125 ng/mL for levofloxacin and moxifloxacin; 162.5 ng/mL for gatifloxacin with a 5 μl sample size. The online process of extraction avoids time-consuming treatment of the samples before injection and run time is shortened. The recovery, selectivity, linearity, precision and accuracy of the method are convenient for pharmacokinetic studies or routine assays.

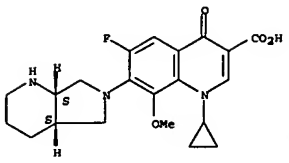
IT 186826-86-8, Moxifloxacin hydrochloride
RL: ANT (Analyte); ANST (Analytical study)
(simultaneous determination of levofloxacin, gatifloxacin and moxifloxacin in serum by liquid chromatog. with column switching)

RN 186826-86-8 CA

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 15 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)

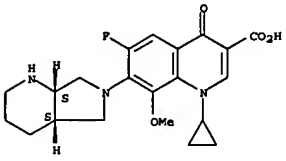


● HCl

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 16 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:28417 CA
TITLE: New antimicrobial agents approved by the U.S. Food and
Drug Administration in 2003 and new indications for previously approved agents
AUTHOR(S): Anon.
CORPORATE SOURCE: USA
SOURCE: Antimicrobial Agents and Chemotherapy (2004), 48(4), 1438-1439
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A list of new antimicrobial agents approved by the U.S. FDA in 2003 is provided.
IT 186826-86-8, Avelox
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new antimicrobial agents approved by the U.S. FDA in 2003)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L11 ANSWER 17 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:412313 CA
TITLE: Process for the preparation of amorphous moxifloxacin hydrochloride
INVENTOR(S): Biswas, Sujay; Bose, Prosenjit; Kumar, Yatendra
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

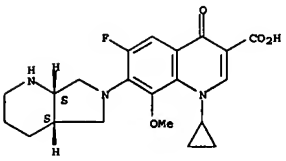
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039804	A1	20040513	WO 2003-IB4845	20031030
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003278418	A1	20040525	AU 2003-278418	20031030
EP 1562942	A1	20050817	EP 2003-769724	20031030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: IN 2002-DE1096 A 20021031
WO 2003-IB4845 W 20031030

AB An crystallization amorphous form of moxifloxacin hydrochloride and processes for
preparing amorphous moxifloxacin hydrochloride are presented (e.g., dissoln.
in methanol, heating, and spray drying).
IT 186826-86-8, Moxifloxacin hydrochloride
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP
(Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(process for the preparation of amorphous moxifloxacin hydrochloride)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 17 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

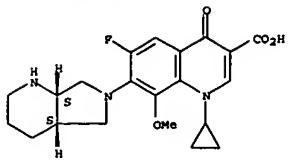
L11 ANSWER 18 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:312475 CA
TITLE: Pharmaceutical emulsion compositions containing pyridonecarboxylic acid compounds, and manufacture thereof
INVENTOR(S): Ogawa, Yasuaki; Kanamaru, Taro
PATENT ASSIGNEE(S): St. Marianne Medical Univ., Japan; LTT Inst. Co., Ltd.; Daiichi Seiyaku Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003300882	A2	20031021	JP 2002-109585	20020411
PRIORITY APPLN. INFO.:			JP 2002-109585	20020411

OTHER SOURCE(S): MARPAT 139:312475
AB The invention provides an O/W pharmaceutical emulsion composition containing a pyridonecarboxylic acid compound, a biodegradable polymer, and zinc oxide in an oily phase, suitable for use in a sustained-release microcapsule for treatment of periodontal disease, etc. A dispersion containing lactic acid-glycolic acid copolymer 3 g, dichloromethane 3 mL, levofloxacin 200 mg, zinc oxide same mol ratio to levofloxacin was prepared, and mixed with a 1 % polyvinyl alc.-containing 0.01 mol/L phosphate buffer (pH 7.5) 100 mL to obtain an emulsion for making a microcapsule.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical emulsion compns. containing pyridonecarboxylic acid compds., biodegradable polymers, and zinc oxide with buffers)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 18 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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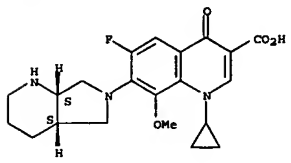
L11 ANSWER 19 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:219346 CA
TITLE: Melt extrusion consisting of salts of active ingredients and (meth)acrylate copolymer
INVENTOR(S): Petereit, Hans-Ulrich; Meier, Christian; Gryczke, Andreas
PATENT ASSIGNEE(S): Roehm G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072083	A2	20030904	WO 2003-EP935	20030130
WO 2003072083	A3	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10208344	A1	20030904	DE 2002-10208344	20020227
CA 2474691	AA	20030904	CA 2003-2474691	20030130
AU 2003210196	A1	20030909	AU 2003-210196	20030130
EP 1478344	A2	20041124	EP 2003-742925	20030130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007995	A	20041207	BR 2003-7995	20030130
JP 2005526731	T2	20050908	JP 2003-570829	20030130
US 2004253314	A1	20041216	US 2004-498829	20040624
PRIORITY APPLN. INFO.:			DE 2002-10208344 A	20020227
			WO 2003-EP935 W	20030130

AB The invention relates to a method for producing active ingredient-containing granules or powders involving the following steps: (a) melting a mixture consisting of a pharmaceutical active ingredient and of a (meth)acrylate copolymer, which is comprised of 40 to 75 weight % of radically polymerized C1 to C4 alkyl esters of acrylic acid or of methacrylic acid and can be comprised of 25 to 60 weight % (meth)acrylate monomers having an anionic group in the alkyl radial; (b) extruding the mixture, and; (c) comminuting the extrudate to form a granule or powder. The inventive method is characterized in that the active ingredient is the salt of an alkaline substance, and in that the pH value, which can be measured on the obtained powder or granule, is equal to or less than pH 7.0. The invention also relates to pharmaceutical dosage forms or precursors thereof, which can be produced using the inventive method. Thus a hot melt compound was prepared by coextruding 50 mass parts Verapamil HCl and 50 mass parts Eudragit L 100-55. 160 G of the ground hot melt compd. was mixed with 230 g lactose, 180 g Avicel PH 102, 30 g Explotab and 3 g magnesium stearate and pressed to tablets.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(melt extrusion consisting of salts of active ingredients and (meth)acrylate copolymer)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 19 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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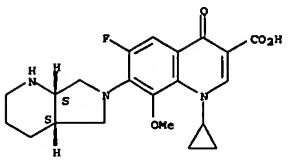
L11 ANSWER 20 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:78391 CA
TITLE: Prediction of biological activity spectra for substances: evaluation on the diverse sets of drug-like structures
AUTHOR(S): Stepanchikova, A. V.; Lagunin, A. A.; Filimonov, D. A.; Poroikov, V. V.
CORPORATE SOURCE: Institute of Biomedical Chemistry RAMS, Moscow, 119121, Russia
SOURCE: Current Medicinal Chemistry (2003), 10(3), 225-233
CODEN: CMCHE7; ISSN: 0929-8673
PUBLISHER: Bentham Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The concept of Biol. Activity Spectrum served as a basis for developing PASS (Prediction of Activity Spectra for Substances) software product. PASS predicts simultaneously more than 780 pharmacol. effects and biochem. mechanisms based on the structural formula of a substance. It may be used for finding new targets (mechanisms) for known pharmaceuticals and for searching new biol. active substances. PASS prediction ability was evaluated by activity spectra prediction for 63 substances that are presented in the Mol. of the Month section of Prous Science, belong to different chemical classes and reveal various types of biol. activity. Mean accuracy of prediction turned out to be about 90%; therefore, it is reasonable to use PASS for finding and optimizing new lead compds. A web-site with a new internet version of PASS is introduced into practice in Dec. 2001. On the site, one can find a detailed description of the PASS approach as well as some examples of its applications, and estimate the quality of prediction by submitting structures of substances with known activities.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(biol. activity spectra evaluation of drug-like structures)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 20 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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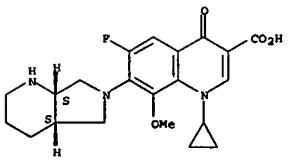
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:214912 CA
TITLE: Efficacy and safety of short course (5-day) moxifloxacin vs. 7-day ceftriaxone in the treatment of acute exacerbations of chronic bronchitis (AECB)
AUTHOR(S): Grassi, C.; Casali, L.; Curti, E.; Tellarini, M.; Lazzaro, C.; Schito, G.
CORPORATE SOURCE: The Smart Study Group, Pneumology Department, University of Pavia, Milan, Italy
SOURCE: Journal of Chemotherapy (Firenze, Italy) (2002), 14(6), 597-608
CODEN: JCHEEU; ISSN: 1120-009X
PUBLISHER: E.I.P.T. srl
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The aim of this multicenter, open, randomized study was to compare the efficacy and tolerability of a 5-day treatment course with oral moxifloxacin (MXF) vs. a 7-day course with i.m. ceftriaxone (CRO) in 476 patients with acute exacerbations of chronic bronchitis (AECB), and to conduct a cost minimization anal. of the two treatments from the perspectives of both the Italian National Health Service (INHS) and society. The study was conducted in Italy. Clin. success rates at test-of-cure in the 423 patients of the PP (Per Protocol) population (primary efficacy parameter) were 90.6% and 89.0% for MXF and CRO, resp. Statistical non-inferiority of MXF vs. CRO was confirmed. Similar results were found between study drugs on the secondary efficacy parameters, including success at end-of-treatment (95.3% for MXF vs 92.9% for CRO), success at test-of-cure in bacteriol.-pos. patients (94.1% vs. 90.7%) and eradication/presumed eradication rates (91.7% vs 93.3%). ITT (Intention-to-Treat) anal. confirmed these data. There was a low incidence of adverse events (10.8% vs 9.1%). During a 6-mo follow-up period, relapse rates were lower for MXF vs CRO (23.3% vs 28.3%; p>.05). Compared with CRO, MXF was associated with cost savings per patient ranging from 226.57 (INHS perspective) to 448.23 (societal perspective), with lower hospitalization rate the major variable contributing to reduced costs. MXF appears to be an ideal candidate for AECB treatment.
IT 186826-86-8, Avalox
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(moxifloxacin vs. ceftriaxone in treatment of acute exacerbations of chronic bronchitis)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 21 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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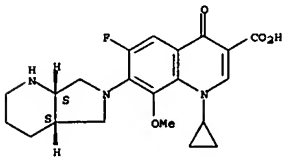
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:100180 CA
TITLE: New drugs in 2002
AUTHOR(S): Vervaeren, Jacques
CORPORATE SOURCE: Service Scientifique A.P.B., Fr.
SOURCE: Journal de Pharmacie de Belgique (2002), 57(3), 45-70
CODEN: JPBEAJ; ISSN: 0047-2166
PUBLISHER: Association Pharmaceutique Belge, Service Scientifique
DOCUMENT TYPE: Journal; General Review
LANGUAGE: French
AB A review on the pharmacol. of Trileptal, Relert, Aerius, Xyzall, Actos, Avelox, and NovoRapid.
IT 186826-86-8, Avelox
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new drugs in 2002)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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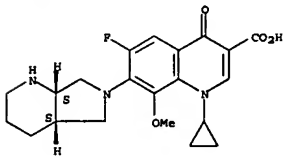
L11 ANSWER 23 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:41250 CA
TITLE: A prospective, multicentre study of moxifloxacin concentrations in the sinus mucosa tissue of patients undergoing elective surgery of the sinus
AUTHOR(S): Gehanno, P.; Darantiere, S.; Dubreuil, C.; Chobaut, J.
C.; Bobin, S.; Pages, J. C.; Renou, G.; Bobin, F.; Arvis, P.; Stass, H.
CORPORATE SOURCE: Otorhinolaryngology Unit, Bichat Claude-Bernard Hospital, Paris, 75877, Fr.
SOURCE: Journal of Antimicrobial Chemotherapy (2002), 49(5), 821-826
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A pharmacokinetic study was carried out to determine moxifloxacin concns. in sinus tissue, after oral moxifloxacin 400 mg once daily for 5 days to patients with chronic sinusitis, undergoing elective sinus surgery. Patients were randomly allocated to one of seven treatment groups, in which tissues were sampled 2, 3, 4, 6, 12, 24 or 36 h post-dose. A control group with non-infected nasal polyps was also included. Forty-eight patients (13 female, 35 male, mean age 47.1 yr) were allocated to one of each active treatment group (n = 42) or to the control group (n = 6). Tissue and plasma samples were taken simultaneously and stored frozen until assayed by HPLC. Thirty-nine patients were fully valid for pharmacokinetic anal. The geometric mean moxifloxacin plasma concentration increased from 2.32 mg/L at 2 h to a maximum of 3.37 mg/L at 4 h post-dose, decreasing to 0.37 mg/L at 36 h post-dose. The moxifloxacin concentration in sinus mucosa was consistently greater than that in plasma being 4.56-5.73 mg/kg from 2 to 6 h and 2.81-1.25 mg/kg from 12 to 36 h post-dose. The elimination rates in plasma and sinus tissues were similar. The tissue/plasma ratio was c. 200% between 2 and 6 h, and up to 328.9% at 36 h. Results were similar whatever the site of tissue sampling (maxillary sinus, anterior ethmoid sinus or nasal polyps). Tissue levels exceeded the MIC90 of all pathogens commonly causing acute sinusitis (e.g. 5-30 + MIC for Streptococcus pneumoniae: 0.25 mg/L). These results support the use of moxifloxacin 400 mg once daily as a regimen for the treatment of sinus infections.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(moxifloxacin hydrochloride concns. in sinus mucosa tissue of patients undergoing elective sinus surgery for chronic sinusitis)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-,

L11 ANSWER 23 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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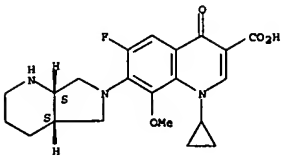
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 24 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:374896 CA
TITLE: Antibacterial gel eye drops
INVENTOR(S): Suzuki, Hidekazu; Wada, Takahiro; Kirita, Masanobu; Takeuchi, Masanobu
PATENT ASSIGNEE(S): Wakamoto Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040028	A1	20020523	WO 2001-JP10023	20011116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014308	A5	20020527	AU 2002-14308	20011116
PRIORITY APPLN. INFO.:			JP 2000-350074	A 20001116
			JP 2001-150900	A 20010521
			WO 2001-JP10023	W 20011116

AB It is intended to provide antibacterial gel eye drops containing as the active ingredient levofloxacin, ofloxacin, moxifloxacin or pharmaceutically acceptable salts thereof which exert elevated drug availability with little fear of the occurrence of side effects. Disclosed are antibacterial gel eye drops containing an antibacterial agent and gellan gum wherein the antibacterial agent is at least one member selected from the group consisting of levofloxacin, ofloxacin, moxifloxacin and pharmaceutically acceptable salts thereof, and, in case of dropping the eye drops into eyes, the concentration of the antibacterial agent is thrice or more higher in the conjunctiva than the concentration thereof in eyes with the administration of eye drops having the same composition as that of the antibacterial gel eye drops but containing no gellan gum, and less than thrice higher in the aqueous humor than the same.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibacterial gel eye drops)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

L11 ANSWER 24 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry. Rotation (-).



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REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

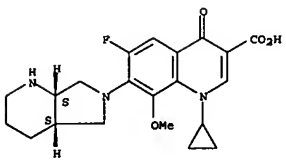
L11 ANSWER 25 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:363101 CA
TITLE: Mono, dual and triple moxifloxacin-based therapies for
Helicobacter pylori eradication
AUTHOR(S): Di Caro, S.; Ojetti, V.; Zocco, M. A.; Cremonini, F.; Bartolozzi, F.; Candelli, M.; Lupascu, A.; Nista, E. C.; Cammarota, G.; Gasbarrini, A.
CORPORATE SOURCE: Internal Medicine Department, Gemelli Hospital, Rome, 00168, Italy
SOURCE: Alimentary Pharmacology and Therapeutics (2002), 16(3), 527-532
CODEN: APTHEN; ISSN: 0269-2813
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Moxifloxacin is a broad spectrum fluoroquinolone with single daily administration, currently used, above all, for respiratory tract infections. The aim of this study was to compare the efficacy of different 1-wk moxifloxacin-based Helicobacter pylori eradication regimens. One hundred and twenty H. pylori-pos. subjects were randomized to receive moxifloxacin (400 mg/day), moxifloxacin (400 mg/day) and lansoprazole (30 mg/day) or moxifloxacin (400 mg/day), lansoprazole (30 mg/day) and clarithromycin (500 mg b.d.). H. pylori status was reassessed 6 wk after the end of therapy, and both intention-to-treat and per protocol analyses were performed. One hundred and nineteen of the 120 patients completed the study. H. pylori eradication was achieved in 22.5% of patients treated with moxifloxacin, in 33.3% of subjects treated with moxifloxacin and lansoprazole and in 90% of patients treated with moxifloxacin, clarithromycin and lansoprazole. Mono and dual moxifloxacin-based therapies are not acceptable for H. pylori eradication; conversely, moxifloxacin-based triple therapy may be considered as a new, effective, first-line therapy option.

IT 186826-86-8, Avalox
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mono, dual and triple moxifloxacin-based therapies for Helicobacter pylori eradication in humans)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 25 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 26 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:172791 CA
TITLE: Aqueous pharmaceutical compositions having a low gelation temperature
INVENTOR(S): Suzuki, Hidekazu; Wada, Takahiro; Kirita, Masanobu; Takeuchi, Masanobu
PATENT ASSIGNEE(S): Nakamoto Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

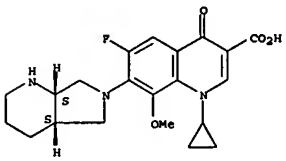
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011734	A1	20020214	WO 2001-JP6805	20010808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003160473	A2	20030603	JP 2000-240455	20000808
JP 3450805	B2	20030929		
AU 2001078696	A5	20020218	AU 2001-78696	20010808
CA 2421787	AA	20030204	CA 2001-2421787	20010808
EP 1312366	A1	20030521	EP 2001-956809	20010808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 3504656	B2	20040308	JP 2002-517070	20010808
NO 2003000533	A	20030226	NO 2003-533	20030203
US 2003194441	A1	20031016	US 2003-344189	20030602
PRIORITY APPLN. INFO.:			JP 2000-240455 A	20000808
			WO 2001-JP6805 W	20010808

AB The invention aims at providing an antimicrobial aqueous pharmaceutical composition and an aqueous pharmaceutical composition which have a sufficiently low gelation temperature even when contain new quinolone antimicrobial agents such as ofloxacin as the active ingredient and can stay at the site of administration for a long time by virtue of rapid viscosity increase after administration in spite of their being liquid at administration and thereby attain high availability. The invention relates to an antimicrobial aqueous pharmaceutical composition containing 2.8 to 4 % weight/volume of Me cellulose, 2 weight/volume aqueous solution of which has a viscosity of 12mPa s or below at 20°, 1.5 to 2.3 % weight/volume of citric acid, 2 to 4 % weight/volume of polyethylene glycol, and 0.1 to 0.5 % weight/volume of ofloxacin.

IT 186826-86-8, Moxifloxacin hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L11 ANSWER 26 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
(aq. pharmaceutical compns. with low gelation temp.)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-
[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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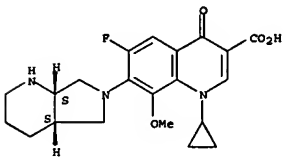
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 27 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:79300 CA
TITLE: A multinational, multicentre, non-blinded, randomized study of moxifloxacin oral tablets compared with co-amoxiclav oral tablets in the treatment of acute exacerbation of chronic bronchitis
AUTHOR(S): Schaberg, T.; Ballin, I.; Huchon, G.; Bassaris, H.; Hampel, B.; Reimnitz, P.; Kummer, F.; Wetter, N.; Delpire, P.; Deruyette, M.; Martinot, J.-B.; Llorens, P.-L.; Albesa, F.; Bart, F.; Bernabeu, L.; Royer, F.; Foquert, L.; Simmons, A.; Martinat, Y.; Meridjen, G.; Francon, R.; Zuck, P.; Godard, P.; Boyer, G. R.; Cerwicz, O.; Colberg, K.; Linnehoff, A.; McDaniel, A.; Mitlehner, W.; Schnorr, R.; Schultz, T.; Szerdahelyi, U.; von Versen, L.-H.; Westerhausen, U.; Todoroff, K.; Janekovic, V.; Leiner, H.; Kaessner, F.; Beck, E.; Papadakis, E.; Nikolaidis, P.; Kollaras, P.; Ludwig, E.; Ferenczi, S.; Timar, S.; Eliraz, A.; Schreurs, A. J. M.; Bantje, Th. A.; Aalbers, R.; Dmoch, A.; Plusa, T.; Zielinski, J.; Halawa, B.; Solomatin, A.; Yakovlev, S.; Trofimov, V.; Schmitz, M.; Kohler, E.; Ballin, I.; Battye, I.; Allin, D.; Allenby, C.; Edwards, S.; Carr, W. D.; Reid, D. M.; McColl, I.; Kansagra, M.; Zachariah, J.; Morgan, D.; Aitchison, W. R. C.; Garg, K.; Keatikng, D. A.
CORPORATE SOURCE: Lungenklinik, Diakoniekrankenhaus, Rotenburg, Germany
SOURCE: Journal of International Medical Research (2001), 29(4), 314-328
CODEN: JIMRBV; ISSN: 0300-0605
PUBLISHER: Cambridge Medical Publications Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The aim of this study was to compare the efficacy and safety of once daily dosing with moxifloxacin (BAY 12-8039) with that of co-amoxiclav given three times daily for the treatment of acute exacerbation of chronic bronchitis (AECB). Moxifloxacin (one 400 mg tablet daily) was administered orally for 5 days and co-amoxiclav (three 625 mg tablets daily) was given orally for 7 days. The study was randomized, non-blinded, multinational (12 countries) and multicenter (68 centers). A total of 575 patients, all with clear signs of AECB, were treated, 292 with moxifloxacin and 283 with co-amoxiclav. Of these, 512 patients were evaluable for efficacy (261 in the moxifloxacin group and 251 in the co-amoxiclav group). The primary efficacy parameter was clin. response at 14 days in the evaluable population. A clin. success was classified as resolution or improvement of symptoms. Variables used to assess clin. response included wheeze, cough, dyspnea, sputum volume, rales and rhonchi. The success rate for moxifloxacin in the evaluable patients was 96.2% and that for co-amoxiclav was 91.6%. The 95% confidence intervals for this difference (0.4%; 8.7%) indicate equivalence in the treatments. Sputum samples were taken from patients and 140 of these contained a pathogen, Haemophilus influenzae being the most frequently isolated. Moraxella catarrhalis and Streptococcus pneumoniae were also commonly isolated pathogens. The eradication rate at 14 days in the evaluable patients was 87.7% in the moxifloxacin group and 89.6% in the co-amoxiclav group. Both drugs were well tolerated with no significant differences in the nos. of drug-related adverse events or the nos. of patients withdrawing because of an adverse event. These results and the broad spectrum of antibacterial activity make moxifloxacin a promising and safe alternative to conventional therapy for the empirical treatment of AECB.

IT 186826-86-8, BAY 12-8039
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(moxifloxacin oral tablets compared with co-amoxiclav oral tablets in treatment of acute exacerbation of chronic bronchitis in humans)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-
[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

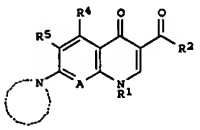
L11 ANSWER 28 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:142269 CA
TITLE: Microparticle drug formulations containing antibiotics, silica and polyvinylpyrrolidone
INVENTOR(S): Laich, Tobias
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10005280	A1	20010809	DE 2000-10005280	20000207
WO 2001058427	A1	20010816	WO 2001-EP786	20010125

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2000-10005280 A 20000207

OTHER SOURCE(S): MARPAT 135:142269
GI



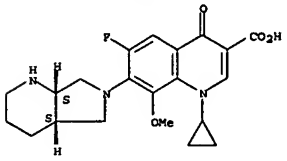
I

AB The invention concerns the preparation of drug formulations that are < 1 mm particles and are composed of quinolone or naphthyridone carboxylate antibiotics, silica and a binding agent, e.g. polyvinylpyrrolidone. Ingredients are suspended in water and spray dried in a fluidized bed. General structure of the active ingredients is given (I); R1-R5 are defined. Thus a suspension was prepared from the following components (g): moxifloxacin-hydrochloride 438.15; polyvinylpyrrolidone 37.86; Aerosil 200 2.1; benzalkonium chloride 2.10; water 2444.39. The suspension was spray-dried; 522.6 g product was obtained.

IT 186826-86-8, Moxifloxacin-hydrochloride
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic

L11 ANSWER 28 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
use); BIOL (Biological study); PROC (Process); USES (Uses)
(microparticle drug formulations contg. antibiotics, silica and polyvinylpyrrolidone)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-
[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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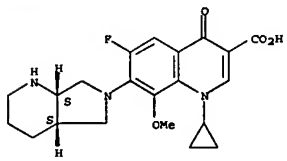
L11 ANSWER 29 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135:71252 CA
TITLE: Use of chemotherapeutic agents for the topical and/or local treatment of diseases caused by bacteria
INVENTOR(S): Schulz, Hans-Herrmann; Schlimbach, Gunther
PATENT ASSIGNEE(S): Germany
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045679	A2	20010628	WO 2000-EP13155	20001222
WO 2001045679	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19962470	A1	20010712	DE 1999-19962470	19991222
CA 2395459	AA	20010628	CA 2000-2395459	20001222
EP 1244434	A2	20021002	EP 2000-985241	20001222
EP 1244434	B1	20040317		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000017041	A	20021022	BR 2000-17041	20001222
JP 2004501063	T2	20040115	JP 2001-546418	20001222
EP 1408034	A1	20040414	EP 2003-28047	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
AT 261722	E	20040415	AT 2000-985241	20001222
PT 1244434	T	20040831	PT 2000-985241	20001222
ES 2218264	T3	20041116	ES 2000-985241	20001222
NO 2002003026	A	20020820	NO 2002-3026	20020621
US 2003045544	A1	20030306	US 2002-168441	20020621
ZA 2002005027	A	20040308	ZA 2002-5027	20020621
PRIORITY APPLN. INFO.:			DE 1999-19962470	A 19991222
			EP 2000-985241	A3 20001222
			WO 2000-EP13155	W 20001222

OTHER SOURCE(S): MARPAT 135:71252
AB The invention relates to the use of chemotherapeutic agents for the production
of a medicament for the topical and/or local treatment or prophylaxis of diseases caused by bacteria in humans or animals.
IT 186826-86-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L11 ANSWER 29 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(chemotherapeutic agents for topical and/or local treatment of diseases
caused by bacteria)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-
[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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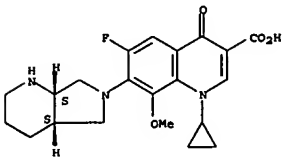
L11 ANSWER 30 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:136733 CA
TITLE: Moxifloxacin formulations containing common salt
INVENTOR(S): Kuehn, Bernd; Mahler, Hans-Friedrich; Eisele, Michael
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19937116	A1	20010208	DE 1999-19937116	19990806
CA 2378424	AA	20010215	CA 2000-2378424	20000725
WO 2001010465	A1	20010215	WO 2000-EP7098	20000725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013010	A	20020430	BR 2000-13010	20000725
EP 1206281	A1	20020522	EP 2000-947994	20000725
EP 1206281	B1	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506416	T2	20030218	JP 2001-514981	20000725
EE 200200060	A	20030415	EE 2002-60	20000725
AU 771058	B2	20040311	AU 2000-61596	20000725
NZ 516969	A	20040326	NZ 2000-516969	20000725
AT 270104	E	20040715	AT 2000-947994	20000725
PT 1206281	T	20041029	PT 2000-947994	20000725
ES 2223549	T3	20050301	ES 2000-947994	20000725
RU 2260429	C2	20050920	RU 2002-106399	20000725
ZA 2002000215	A	20020719	ZA 2002-215	20020110
BG 106366	A	20020830	BG 2002-106366	20020130
NO 2002000526	A	20020201	NO 2002-526	20020201
US 6548079	B1	20030415	US 2002-49095	20020205
PRIORITY APPLN. INFO.:			DE 1999-19937116	A 19990806
			WO 2000-EP7098	W 20000725

AB An aqueous formulation contains moxifloxacin-HCl and sodium chloride and the
formulation can bes used for the prevention or treatment of bacterial infections in humans or animals. Thus, a formulation contained moxifloxacin-HCl 0.04 and NaCl 0.09% and water for injection to 100 mL. The storage stability of the formulation was demonstrated.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(moxifloxacin formulations containing common salt)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-

L11 ANSWER 30 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L11 ANSWER 31 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 134:136732 CA
TITLE: Aqueous formulations of moxifloxacin or its salts
INVENTOR(S): Kuehn, Bernd; Mahler, Hans-Friedrich; Eisele, Michael
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

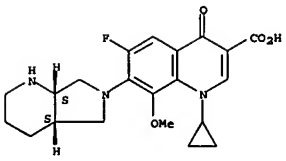
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19937115	A1	20010208	DE 1999-19937115	19990806
CA 2378425	AA	20010215	CA 2000-2378425	20000725
WO 2001010408	A2	20010215	WO 2000-EP7099	20000725
WO 2001010408	A3	20010907		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1206244	A2	20020522	EP 2000-956272	20000725
EP 1206244	B1	20040623		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506395	T2	20030218	JP 2001-514929	20000725
ES 2220516	T3	20041216	ES 2000-956272	20000725
US 6916484	B1	20050712	US 2002-49094	20000725

PRIORITY APPLN. INFO.:	DE 1999-19937115	A	19990806
	WO 2000-EP7099	W	20000725

AB The invention concerns an aqueous formulation of moxifloxacin, which contains
<20 ppb iron. Thus, an infusion concentrate contained moxifloxacin-HCl 400 mg
and water for injection to 20 mL. To this formulation was added 5% glucose.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aqueous formulations of moxifloxacin or its salts)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 31 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



● HCl

L11 ANSWER 32 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:352794 CA
TITLE: Pharmaceutical moxifloxacin preparation
INVENTOR(S): Bosche, Patrick; Mahler, Hans Friedrich; Weisemann, Claus
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

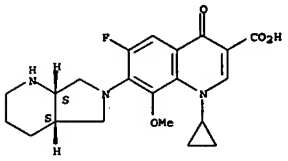
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027398	A1	20000518	WO 1999-EP8230	19991029
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2349161	AA	20000518	CA 1999-2349161	19991029
BR 9915208	A	20010731	BR 1999-15208	19991029
EP 1128831	A1	20010905	EP 1999-955906	19991029
EP 1128831	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101310	T2	20011022	TR 2001-200101310	19991029
AU 745282	B2	20020321	AU 2000-12674	19991029
JP 2002529415	T2	20020910	JP 2000-580627	19991029
EE 200100259	A	20021216	EE 2001-259	19991029
EE 4418	B1	20050215		
CZ 292069	B6	20030716	CZ 2001-1652	19991029
NZ 511554	A	20030725	NZ 1999-511554	19991029
SK 283936	B6	20040504	SK 2001-610	19991029
RU 2230555	C2	20040620	RU 2001-116096	19991029
AT 279193	E	20041015	AT 1999-955906	19991029
PT 1128831	T	20050131	PT 1999-955906	19991029
ES 2229786	T3	20050416	ES 1999-955906	19991029
TW 580388	B	20040321	TW 1999-88119183	19991104
ZA 2001003141	A	20020507	ZA 2001-3141	20010418
BG 105459	A	20011231	BG 2001-105459	20010420
US 6610327	B1	20030826	US 2001-830770	20010430
NO 2001002248	A	20010606	NO 2001-2248	20010507
NO 319342	B1	20050718		
HR 2001000332	A1	20020630	HR 2001-332	20010510
HK 1042245	A1	20050311	HK 2002-104010	20020529

PRIORITY APPLN. INFO.:	DE 1998-19855758	A	19981110
	WO 1999-EP8230	W	19991029

AB A pharmaceutical preparation for oral administration of moxifloxacin, its salts
and/or hydrates, and 2.5-25% lactose is useful for combating bacterial infections in man or animals. Tablets with this composition have adequate
hardness and strength and excellent release characteristics. Thus, coated

L11 ANSWER 32 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
tablets were prepd. contg. micronized moxifloxacin-HCl 54.6, microcryst. cellulose 17.0, lactose 8.5, croscarmellose Na 2.0, Mg stearate 0.6, and hydroxypropylmethylcellulose lacquer 3.2 mg.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical moxifloxacin preparation)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

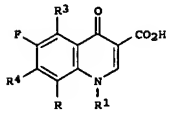
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 33 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:5195 CA
TITLE: Preparation of 8-methoxyquinolonecarboxylates
INVENTOR(S): Gehring, Reinhold; Mohrs, Klaus; Heilmann, Werner; Diehl, Herbert
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19751948	A1	19990527	DE 1997-19751948	19971124
CA 2311540	AA	19990603	CA 1998-2311540	19981112
WO 9926940	A2	19990603	WO 1998-EP7237	19981112
WO 9926940	A3	19990812		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9915619	A1	19990615	AU 1999-15619	19981112
AU 732977	B2	20010503		
EP 1034173	A2	20000913	EP 1998-959874	19981112
EP 1034173	B1	20050427		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9814894	A	20001003	BR 1998-14894	19981112
NZ 504657	A	20010427	NZ 1998-504657	19981112
EE 200000241	A	20010615	EE 2000-200000241	19981112
EE 4281	B1	20040415		
JP 2001524477	T2	20011204	JP 2000-522098	19981112
TR 200001472	T2	20020621	TR 2000-200001472	19981112
RU 2219175	C2	20031220	RU 2000-116546	19981112
AT 294169	E	20050515	AT 1998-959874	19981112
ES 2241185	T3	20051016	ES 1998-959874	19981112
IN 189753	A	20030419	IN 1998-DE3456	19981118
ZA 9810669	A	19990526	ZA 1998-10669	19981123
TW 513427	B	20021211	TW 1998-87119353	19981123
BG 104467	A	20010831	BG 2000-104467	20000522
BG 64532	B1	20050630		
NO 2000002637	A	20000523	NO 2000-2637	20000523
NO 315748	B1	20031020		
HR 2000000332	A1	20010430	HR 2000-332	20000523
HK 1034080	A1	20050311	HK 2001-104581	20010703
CN 1418879	A	20030521	CN 2002-131962	20020904
US 2003208069	A1	20031106	US 2003-406129	20030403
US 6897315	B2	20050524		
HK 1056169	A1	20051223	HK 2003-108394	20031118
US 2005209276	A1	20050922	US 2005-127811	20050511

L11 ANSWER 33 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
PRIORITY APPLN. INFO.: DE 1997-19751948 A 19971124
WO 1998-EP7237 W 19981112
US 2000-554985 A1 20000523
US 2003-406129 A3 20030403

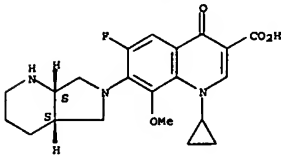
OTHER SOURCE(S): CASREACT 131:5195; MARPAT 131:5195
GI



I

AB Title compds. [I; R = alkoxy or OCH2Ph; R1 = alkyl, CH2CH2F, (halo)cyclopropyl, (halo)phenyl; R3 = H, halo, NH2, Me; R4 = N-attached heterocyclyl] were prepared by etherification of I (R = F or Cl) with an alkanol or PhCH2OH in the presence of Me3CONa or Me3COK.
IT 186826-86-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 8-methoxyquinolonecarboxylates)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L11 ANSWER 33 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)

L11 ANSWER 34 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 130:257360 CA
TITLE: Medicament formulation with controlled release of moxifloxacin
INVENTOR(S): Siefert, Hans-Martin; Bosche, Patrick; Stass, Heino; Kettelhoit, Stefan; Laich, Tobias
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

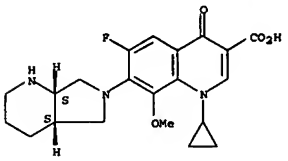
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915172	A1	19990401	WO 1998-EP5842	19980915
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2304135	AA	19990401	CA 1998-2304135	19980915
AU 9893484	A1	19990412	AU 1998-93484	19980915
AU 731693	B2	20010405		
EP 1017392	A1	20000712	EP 1998-946454	19980915
EP 1017392	B1	20020717		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9812553	A	20000725	BR 1998-12553	19980915
TR 200000752	T2	20000921	TR 2000-200000752	19980915
NZ 503538	A	20010330	NZ 1998-503538	19980915
JP 2001517625	T2	20011009	JP 2000-512541	19980915
AT 220547	E	20020815	AT 1998-946454	19980915
PT 1017392	T	20021031	PT 1998-946454	19980915
ES 2179533	T3	20030116	ES 1998-946454	19980915
SK 283462	B6	20030805	SK 2000-403	19980915
CZ 293062	B6	20040114	CZ 2000-1076	19980915
ZA 9808718	A	19990401	ZA 1998-8718	19980923
TW 523412	B	20030311	TW 1998-87115867	19980924
NO 2000001375	A	20000316	NO 2000-1375	20000316
US 6270799	B1	20010807	US 2000-508868	20000317
BG 104256	A	20001229	BG 2000-104256	20000320
HK 1032010	A1	20050916	HK 2001-102741	20010618

PRIORITY APPLN. INFO.: DE 1997-19742243 A 19970925
WO 1998-EP5842 W 19980915

AB Oral formulations for controlled release of antibacterial 1-cyclopropyl-7-[(S,S)-2,8-diazabicyclo[4.3.0]non-8-yl]-6-fluoro-1,4-dihydro-8-methoxy-4-oxo-3-quinolonecarboxylic acid (moxifloxacin) and/or its pharmaceutically compatible salts or hydrates in the digestive tract comprise pellets with a diffusion-limiting coating, tablets with a matrix

L11 ANSWER 34 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
of water-swellable polymer, or an osmotic system comprising (a) a core of moxifloxacin, an optional hydrophilic polymer as swelling agent, and an optional water-sol. agent to induce osmosis, (b) a water-permeable shell which is impermeable to the core contents, and (c) a pore in the shell for extrusion of the core contents. Moxifloxacin-HCl is absorbed throughout the entire digestive tract, including the colon and rectum. Thus, tablets were prepd., each contg. moxifloxacin-HCl 436.8, hydroxypropylmethylcellulose 334.0, lactose-H2O 334.0, and Mg stearate 8.2 mg and coated with an aq. suspension contg. Fe oxide 0.45, TiO2 4.05, PEG-4000 4.5, and hydroxypropylmethylcellulose 13.5 mg.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(medicament formulation with controlled release of moxifloxacin)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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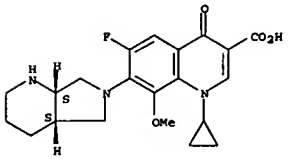
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 35 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:239499 CA
TITLE: In vitro and in vivo activities of moxifloxacin and clinafloxacin against Mycobacterium tuberculosis
AUTHOR(S): Ji, Baohong; Lounis, Nacer; Maslo, Caroline; Truffot-Pernot, Chantal; Bonnafous, Pascale; Grosset, Jacques
CORPORATE SOURCE: Bacteriologie et Hygiene, Faculte de Medecine Pitie-Salpetriere, Paris, 75634, Fr.
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(8), 2066-2069
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB On 10% oleic acid-albumin-dextrose-catalase-enriched 7H11 agar medium, the MIC at which 90% of the isolates are inhibited for 20 strains of Mycobacterium tuberculosis was 0.5 μg of sparfloxacin (SPFX) or moxifloxacin (MXFX) per mL and 1.0 μg of clinafloxacin (CNFX) per mL, indicating that the in vitro activities of SPFX and MXFX were virtually identical and were slightly greater than that of CNFX. However, the in vivo activities of these drugs in a murine tuberculosis model differed considerably. Female Swiss mice were infected i.v. with 6.2 + 106 CFU of the H37Rv stain and treated for 4 wk, beginning the next day after infection, with isoniazid (INH) serving as the pos. control. By the criteria of 30-day survival rate, spleen weight, gross lung lesion, and mean number of CFU in the spleen, treatment with CNFX at up to 100 mg/kg of body weight six times weekly displayed no measureable effect against M. tuberculosis, whereas both SPFX and MXFX were effective; administration six times weekly of either of the latter two drugs demonstrated dosage-dependent bactericidal effects, as measured by enumeration of CFU in the spleens, and MXFX appeared more bactericidal than the same dosage of SPFX. Of the three fluoroquinolones, only MXFX at 100 mg/kg six times weekly appeared as bactericidal as INH at 25 mg/kg six times weekly. Thus, MXFX may be an important component of the newer combined regimens for treatment of tuberculosis.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(moxifloxacin hydrochloride; moxifloxacin, sparfloxacin, and clinafloxacin effect against Mycobacterium tuberculosis)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 35 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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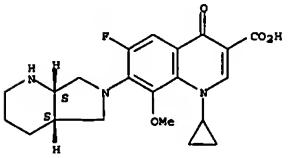
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 36 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:239430 CA
TITLE: Pharmacokinetics, safety, and tolerability of ascending single doses of moxifloxacin, a new 8-methoxy quinolone, administered to healthy subjects
AUTHOR(S): Stass, H.; Dalhoff, A.; Kubitza, D.; Schuhly, U.
CORPORATE SOURCE: Pharma Research Center, Bayer AG, Institute Clinical Pharmacology, Wuppertal, 42096, Germany
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(8), 2060-2065
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The pharmacokinetics of moxifloxacin was investigated in six studies after oral administration of 50, 100, 200, 400, 600, and 800 mg. Eight healthy male volunteers were included in each study. With doses of up to 200 mg, the study was performed as a double-blind, randomized group comparison; with the higher doses, the study was conducted with a double-blind, randomized, crossover design. Safety and tolerability were assessed by evaluation of vital signs, electrocardiograms, electroencephalograms, clin. chemical parameters, results of urinalysis, and adverse events. The drug was well tolerated. The concns. of moxifloxacin in plasma, urine, and saliva were determined by a validated high-pressure liquid chromatog. assay with fluorescence detection. In addition, plasma and urine samples were analyzed by a bioassay. A good correlation between both methods was seen, indicating an absence of major active metabolites. The mean maximum concns. of moxifloxacin in plasma (Cmax) ranged from 0.29 mg/L (50-mg dose) to 4.73 mg/L (800-mg dose) and were reached 0.5 to 4 h following drug administration. After reaching the Cmax, plasma moxifloxacin concns. declined in a biphasic manner. Within 4 to 5 h they fell to about 30 to 55% of the Cmax, and thereafter a terminal half-life of 11 to 14 h accounted for the major part of the area under the concentration-time curve (AUC). During the absorption phase, concns. in saliva were even higher than those in plasma, whereas in the terminal phase a constant ratio of the concentration in saliva/concentration in plasma of between 0.5 and 1 was observed, indicating a correlation between unbound concns. in plasma and levels in saliva (protein binding level, approx. 48%). AUC and Cmax increased proportionally to the dose over the whole range of doses investigated. Urinary excretion amounted to approx. 20% of the dose. Data on renal clearance (40 to 51 mL/min/1.73 m2) indicated partial tubular reabsorption of the drug. The pharmacokinetic parameters derived from compartmental and noncompartmental analyses were in good agreement. The kinetics could be described best by fitting the data to a two-compartment body model.
IT 186826-86-8, BAY 12-8039
RL: ADV (Adverse effect, including toxicity); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC

L11 ANSWER 36 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
(Process)
(moxifloxacin hydrochloride; methoxyquinolone moxifloxacin pharmacokinetics, safety, and tolerability in healthy subjects)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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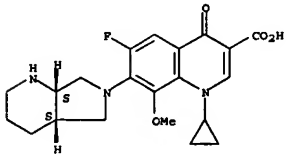
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 37 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:159021 CA
TITLE: In vitro susceptibilities of Bordetella pertussis and Bordetella parapertussis to BAY 12-8039, trovafloxacin, and ciprofloxacin
AUTHOR(S): Hoppe, Jorg E.; Dalhoff Axel; Pfrunder, Dietmar
CORPORATE SOURCE: University Children's Hospital, tubingen, D-72070, Germany
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(7), 1868
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The susceptibilities of the title Bordetella species to the new 8-methoxyquinolone BAY 12-8039 and to trovafloxacin were compared with their susceptibility to ciprofloxacin. The MIC's of BAY 12-8039 and trovafloxacin against B. pertussis were essentially similar to those of ciprofloxacin and the MIC's of the 3 drugs against B. parapertussis were within one log2 dilution of each other. Comparison of concns. achievable in respiratory secretions with the MIC's of the 3 drugs against Bordetella species suggests that the new fluoroquinolones should have good in vivo efficacy against B. pertussis and B. parapertussis.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(in vitro susceptibilities of Bordetella pertussis and B. parapertussis to BAY 12-8039, trovafloxacin, and ciprofloxacin)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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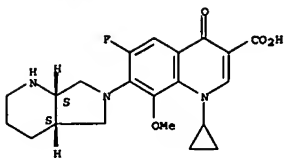
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L11 ANSWER 37 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)

L11 ANSWER 38 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:146770 CA
TITLE: In vitro activity of BAY 12-8039, a new fluoroquinolone, against species representative of respiratory tract pathogens
AUTHOR(S): Souli, Maria; Wennersten, Christine B.; Eliopoulos, George M.
CORPORATE SOURCE: Department of Medicine, Beth Israel Deaconess Medical Center, Boston, MA, 02115, USA
SOURCE: International Journal of Antimicrobial Agents (1998), 10(1), 23-30
CODEN: IAAGEA; ISSN: 0924-8579
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in vitro antibacterial activity of BAY 12-8039, a novel 8-methoxyquinolone, was compared with those of other quinolones, amoxicillin/clavulanate, cefuroxime and erythromycin against species commonly implicated in respiratory tract infections as well as viridans group streptococci. The new compound was highly active against methicillin-susceptible staphylococci (MIC90 0.125 μg/mL), penicillin-susceptible and penicillin-resistant pneumococci (MIC90 0.5 and MIC50 0.25 μg/mL, resp.), penicillin-susceptible and penicillin-resistant viridans group streptococci (MIC90 0.5 and 0.25 μg/mL, resp.), group A streptococci (MIC90 0.25 μg/mL), M. catarrhalis (MIC90 0.125 μg/mL) and H. influenzae (MIC90 0.063 μg/mL), irresp. of β-lactamase production It was, however, less active against methicillin-resistant staphylococci (MIC50 and MIC90, 2 and 4 μg/mL, resp.). The new compound demonstrated bactericidal activity at concns. 2, 4, 8 times the MIC against representative isolates of the above collection. At a concentration of eight times the MIC, the frequency of spontaneous resistance ranged from 2.5 + 10-7 to < 4 + 10-8. These results suggested that BAY 12-8039 would be a promising agent for the eradication of respiratory tract pathogens and that clin. trials assessing its efficacy for the management of infections caused by these organisms are warranted.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(in vitro activity of BAY 12-8039, a new fluoroquinolone, against species representative of respiratory tract pathogens)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 38 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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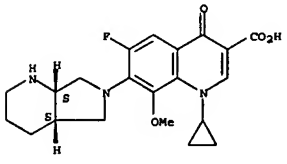
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 39 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:120055 CA
TITLE: Comparison of the antibacterial profiles of the quinolones bay 12-8039, gatifloxacin (AM 1155), trovafloxacin, clinafloxacin, levofloxacin and ciprofloxacin. [Erratum to document cited in CA128:86375]
AUTHOR(S): Bauernfeind, A.
CORPORATE SOURCE: Max von Pettenkofer-Institut, Munich, 80336, Germany
SOURCE: Journal of Antimicrobial Chemotherapy (1998), 41(6), 672
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB On page 639, in the Abstract, line 4 should read "Enterobacteriaceae and fastidious organisms were most susceptible to clinafloxacin" (instead of ciprofloxacin) as indicated in the discussion (page 651). In the Table, page 649, the upper range of MICs of clinafloxacin should be 0.5 mg/L (instead of 0.25 mg/L) for hemolytic streptococci group C.
IT 186826-86-8, Bay 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(comparison of antibacterial activities of 6 quinolones (Erratum))
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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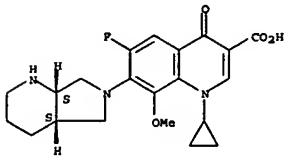
L11 ANSWER 40 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:120045 CA
TITLE: In vitro activities of six fluoroquinolones against Canadian isolates of vancomycin-sensitive and vancomycin-resistant Enterococcus species
AUTHOR(S): Zhanel, George G.; Karlowsky, James A.; Hoban, Daryl J.
CORPORATE SOURCE: Department of Medical Microbiology, Faculty of Medicine, University of Manitoba, Winnipeg, MB, Can.
SOURCE: Diagnostic Microbiology and Infectious Disease (1998), 31(2), 343-347
CODEN: DMIDDZ; ISSN: 0732-8893
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in vitro activities of six fluoroquinolones were determined against 1482 Enterococcus species isolates collected as part of a 1996 Canadian surveillance study. Clinafloxacin MIC90s were 4 or 8 μg/mL, trovafloxacin and BAY 12-8039 MIC90s were 8 or 16 μg/mL, sparfloxacin MIC90s were 32 μg/mL, and ciprofloxacin and ofloxacin MIC90s were >32 μg/mL for the vancomycin-sensitive Enterococcus faecalis, vancomycin-sensitive Enterococcus faecium, and vancomycin-resistant E. faecium isolates collected.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(in vitro activities of six fluoroquinolones against Canadian isolates of vancomycin-sensitive and vancomycin-resistant Enterococcus species)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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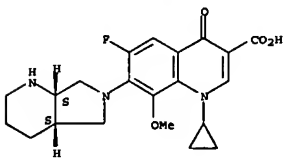
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

L11 ANSWER 40 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 41 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:92773 CA
TITLE: Susceptibilities of Legionella spp. to newer antimicrobials in vitro
AUTHOR(S): Schulin, T.; Wennersten, C. B.; Ferraro, M. J.; Moellering, R. C., Jr.; Eliopoulos, G. M.
CORPORATE SOURCE: Department of Medicine, Beth Israel Deaconess Medical Center, Boston, MA, 02215, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(6), 1520-1523
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in vitro activities of 13 antimicrobial agents against 30 strains of Legionella spp. were determined Rifapentine, rifampin, and clarithromycin were the most potent agents (MICs at which 90% of isolates are inhibited (MIC90s), ≤0.008 μg/mL). The ketolide HMR 3647 and the fluoroquinolones levofloxacin and BAY 12-8039 (MIC90s, 0.03 to 0.06 μg/mL) were more active than erythromycin A or roxithromycin. The MIC90s of dalfopristin-quinupristin and linezolid were 0.5 and 8 μg/mL, resp. Based on class characteristics and in vitro activities, several of these agents may have potential roles in the treatment of Legionella infections.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(susceptibilities of Legionella spp. to newer antimicrobials in vitro)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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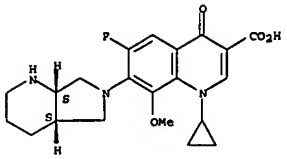
L11 ANSWER 41 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 42 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:14356 CA
TITLE: Relationship between ciprofloxacin, ofloxacin, levofloxacin, sparfloxacin and moxifloxacin (BAY 12-8039) MICs and mutations in grlA, grlB, gyrA and gyrB in 116 unrelated clinical isolates of Staphylococcus aureus
AUTHOR(S): Schmitz, Franz-Josef; Hofmann, Basia; Hansen, Birgit; Scheuring, Sibylle; Luckefahr, Marc; Klootwijk, Mirjam; Verhoef, Jan; Fluit, Ad; Heinz, Hans-Peter; Kohrer, Karl; Jones, Mark E.
CORPORATE SOURCE: Institute for Medical Microbiology and Virology, Heinrich-Heine University, Dusseldorf, 40225, Germany
SOURCE: Journal of Antimicrobial Chemotherapy (1998), 41(4), 481-484
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in vitro activities of five fluoroquinolones were tested against 70 ciprofloxacin-resistant and 46 ciprofloxacin-susceptible unrelated isolates of Staphylococcus aureus. All 116 S. aureus isolates were studied for the presence of mutations in the grl and gyr loci. The order of efficacy of the fluoroquinolones tested, from least to most active, was: ciprofloxacin, ofloxacin, levofloxacin, sparfloxacin and moxifloxacin (BAY 12-8039), in response to all characterized mutations in grlA, grlB, gyrA and gyrB. Moxifloxacin was active against most S. aureus isolates tested (MIC90 = 1 mg/L for ciprofloxacin-resistant isolates) and was less influenced by known mutations.
IT 186826-86-8, Moxifloxacin hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(relationship between antibiotic MICs and mutations in grlA, grlB, gyrA and gyrB in clin. isolates of Staphylococcus aureus)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 42 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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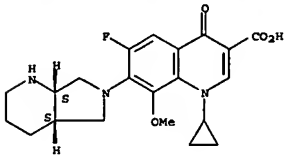
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 43 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:319240 CA
TITLE: In vitro activity of a new 8-methoxyquinolone, BAY 12-8039, against Chlamydia pneumoniae
AUTHOR(S): Roblin, Patricia M.; Hammerschlag, Margaret R.
CORPORATE SOURCE: Div. Infectious Dis., State Univ. New York, Health Science Center at Brooklyn, NY, 11203, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(4), 951-952
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in vitro susceptibilities of 10 strains of Chlamydia pneumoniae to a new 8-methoxyquinolone, BAY 12-8039, and to ofloxacin, doxycycline, and erythromycin were determined The activity of BAYL 12-8039 was similar to that of ofloxacin, with a MIC at which 90% of the isolates had no inclusions and a minimal chlamydicidal concentration at which 90% of the isolates had no inclusions after passage of 1.0 μg/mL, but this activity was less than those of doxycycline and erythromycin.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(in vitro activity of a new methoxyquinolone, BAY 12-8039, against Chlamydia pneumoniae)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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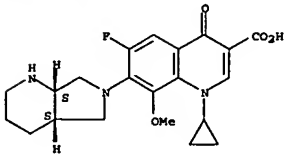
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

L11 ANSWER 43 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 44 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:292633 CA
TITLE: In vitro activity of BAY 12-8039, a new fluoroquinolone, against mycoplasmas
AUTHOR(S): Bebear, C. M.; Renaudin, H.; Boudjadja, A.; Bebear, C.
CORPORATE SOURCE: Laboratoire de Bacteriologie, Universite de Bordeaux 2, Bordeaux, 33076, Fr.
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(3), 703-704
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in vitro activity of the fluoroquinolone BAY 12-8039 against 66 strains of different mycoplasma species and 30 strains of Ureaplasma urealyticum was compared with those of three other antimicrobial agents. BAY 12-8039 at 0.5 μg/mL inhibited 100% of all the mycoplasmal and ureaplasmal strains tested. The minimal bactericidal concns. of BAY 12-8039 increased only 2-8-fold compared to the MICs. Furthermore, they were comparable to those of sparfloxacin and lower than those of doxycycline and clarithromycin.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(in vitro activity of BAY 12-8039, new fluoroquinolone, against mycoplasmas)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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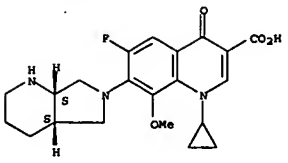
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 45 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:175759 CA
TITLE: Determination of BAY 12-8039, a new 8-methoxyquinolone, in human body fluids by high-performance liquid chromatography with fluorescence detection using on-column focusing
AUTHOR(S): Stass, H.; Dalhoff, A.
CORPORATE SOURCE: Aprather Weg, Bayer AG, Clinical Pharmacokinetics, Institute of Clinical Pharmacology, 42096 Wuppertal, Germany
SOURCE: Journal of Chromatography, B: Biomedical Sciences and Applications (1997), 702(1 + 2), 163-174
CODEN: JCBBEP; ISSN: 0378-4347
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A reversed-phase (RP) high-performance liquid chromatog. (HPLC) method with fluorescence detection allowing the sensitive and specific quantification of BAY 12-8039, a new antimicrobially active 8-methoxyquinolone, in biol. fluids is described. The method is compared to a microbiol. assay (bioassay) based on B. subtilis test strain with a limit of quantification of approx. 60 μg/l. Following dilution and centrifugation, plasma, saliva or urine supernatant is directly injected onto the HPLC system. Concns. down to a limit of quantification of 2.5 μg/l can be quantified in plasma, saliva and urine. Data on recovery, accuracy and precision of the method throughout the whole working range as well as results on stability of the analyte are presented. The concentration data are correlated with results from the bioassay. BAY 12-8039 is stable in plasma after repeated freeze-thaw cycles and following storage at -20°C for at least 12 mo. The results of HPLC measurements excellently agree with bioassay data indicating the relevance of the method as a tool in clin. development to answer pharmacokinetic questions related to antimicrobial activity. The method was applied to human plasma, saliva and urine from subjects after a single oral dose of 400 mg of BAY 12-8039.
IT 186826-86-8, BAY 12-8039
RL: ANT (Analyte); ANST (Analytical study)
(BAY 12-8039, new 8-methoxyquinolone, determination in human body fluids by HPLC: comparison with microbiol. assay)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 45 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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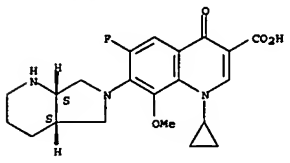
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 46 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:86375 CA
TITLE: Comparison of the antibacterial activities of the quinolones Bay 12-8039, gatifloxacin (AM 1155), trovafloxacin, clinafloxacin, levofloxacin and ciprofloxacin
AUTHOR(S): Bauernfeind, A.
CORPORATE SOURCE: Max von Pettenkofer-Institut, Munich, 80336, Germany
SOURCE: Journal of Antimicrobial Chemotherapy (1997), 40(5), 639-651
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in vitro activities of the quinolones Bay 12-8039, gatifloxacin (AM 1155), trovafloxacin, clinafloxacin, levofloxacin and ciprofloxacin were compared. Gram-pos. cocci were most susceptible to Bay 12-8039, clinafloxacin and trovafloxacin; Enterobacteriaceae and fastidious organisms were most susceptible to ciprofloxacin; Pseudomonas spp. were most susceptible to clinafloxacin and ciprofloxacin; anaerobes, Helicobacter pylori and Campylobacter jejuni were most susceptible to gatifloxacin, clinafloxacin and trovafloxacin. Against Gram-pos. cocci, the only agents that were more active than ciprofloxacin were those carrying an azabicyclo (trovafloxacin, Bay 12-8039), 3-amino-pyrrolidinyl (clinafloxacin) or 3-methyl-piperazinyl (gatifloxacin) moiety at position C7.
IT 186826-86-8, Bay 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparison of antibacterial activities of 6 quinolones)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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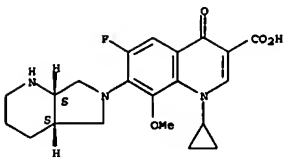
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

L11 ANSWER 46 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 47 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:32269 CA
TITLE: Bay 12-8039, a new 8-methoxyquinolone: comparative in-vitro activity with nine other antimicrobials against anaerobic bacteria
AUTHOR(S): MacGowan, A. P.; Bowker, K. E.; Holt, H. A.; Wootton, M.; Reeves, D. S.
CORPORATE SOURCE: Bristol Centre for Antimicrobial Research and Evaluation, Department of Medical Microbiology, Southmead Health Services NHS Trust and University of Bristol, Southmead Hospital, Bristol, BS10 5NB, UK
SOURCE: Journal of Antimicrobial Chemotherapy (1997), 40(4), 503-509
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The in-vitro activity of a new 8-methoxyquinolone, Bay 12-8039, was assessed against 218 anaerobic bacteria. Ninety-eight per cent of strains belonging to the Bacteroides fragilis group (n = 65) were inhibited by ≤2 mg/L of Bay 12-8039 whereas 97%, 94%, 94% and 100%, resp., of Gram-neg. bacilli (n = 93), non-sporing Gram-pos. bacilli (n = 36), endospore-forming Gram-pos. bacilli (n = 34) and Gram-pos. cocci (n = 45) were also inhibited by ≤2 mg/L. Eighty-three per cent of all anaerobes tested were inhibited by ≤1 mg/L Bay 12-8039 and 99.5% by ≤4 mg/L. When compared with ciprofloxacin, clinafloxacin, ofloxacin and trovafloxacin, Bay 12-8039 was more active than ciprofloxacin and ofloxacin, equipotent to trovafloxacin but not as active as clinafloxacin.
IT 186826-86-8, Bay 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(Bay 12-8039, new 8-methoxyquinolone: comparative in-vitro activity with nine other antimicrobials against anaerobic bacteria)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 47 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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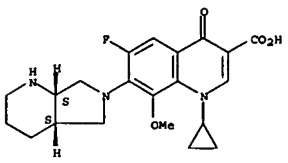
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 48 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:316736 CA
TITLE: The effect of reserpine, an inhibitor of multidrug efflux pumps, on the in-vitro susceptibilities of fluoroquinolone-resistant strains of Streptococcus pneumoniae to norfloxacin
AUTHOR(S): Brenwald, N. P.; Gill, M. J.; Wise, R.
CORPORATE SOURCE: Department of Medical Microbiology, City Hospital NHS Trust, Birmingham, B18 7QH, UK
SOURCE: Journal of Antimicrobial Chemotherapy (1997), 40(3), 458-460
CODEN: JACHDX; ISSN: 0305-7453
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors studied the susceptibilities of fluoroquinolone-resistant mutants of S. pneumoniae to norfloxacin, in the presence or absence of reserpine, as well as to other known multi-drug efflux pump substrates.
IT 186826-86-8, Bay 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effect of reserpine on susceptibility of fluoroquinolone-resistant strains of Streptococcus pneumoniae to norfloxacin and other multi-drug efflux substrates)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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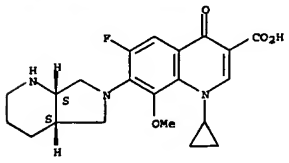
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 49 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:231787 CA
TITLE: In vitro activity of Bay 12-8039, a new 8-methoxyquinolone
AUTHOR(S): Fass, Robert J.
CORPORATE SOURCE: Division of Infectious Diseases, Department of Internal Medicine, Ohio State University College of Medicine, Columbus, OH, 43210, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1997), 41(8), 1818-1824
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB MICs of Bay 12-8039 and comparative antimicrobials were determined for 820 recent clin. isolates. Ciprofloxacin was ≈2-fold more active than Bay 12-8039 and ofloxacin against Enterobacteriaceae and ≈8-fold more active against Pseudomonas aeruginosa. Bay 12-8039 was ≈2- to 16-fold more active than ciprofloxacin and ofloxacin against nonfermenters (except P. aeruginosa), staphylococci, streptococci, enterococci, and anaerobes. As determined by regression anal., there was a high degree of correlation among quinolone MICs.
IT 186826-86-8, Bay 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(in vitro activity of Bay 12-8039 vs. other antibacterials)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L11 ANSWER 49 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)

L11 ANSWER 50 OF 56 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 127:173704 CA
TITLE: In vitro activity of BAY 12-8039, a novel 8-methoxyquinolone, compared to activities of six fluoroquinolones against Streptococcus pneumoniae, Haemophilus influenzae, and Moraxella catarrhalis
AUTHOR(S): Brueggemann, Angela B.; Kugler, Kari C.; Doern, Gary V.
CORPORATE SOURCE: Clinical Microbiology Laboratories, University of Massachusetts Medical Center, Worcester, MA, 01655, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1997), 41(7), 1594-1597
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

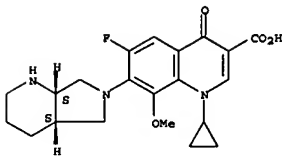
AB The in vitro activity of a novel 8-methoxyquinolone, BAY 12-8039, against recent clin. isolates of Streptococcus pneumoniae (n = 404), Haemophilus influenzae (n = 330), and Moraxella catarrhalis (n = 250) was evaluated. Activity was compared to those of six other fluoroquinolones: ciprofloxacin, clinafloxacin, levofloxacin, ofloxacin, sparfloxacin and trovafloxacin. BAY 12-8039 and clinafloxacin had the highest levels of activity against S. pneumoniae, both with a MIC at which 90% of the isolates were inhibited (MIC90) of 0.06 μg/mL. Trovafloxacin and sparfloxacin were the next most active agents vs. S. pneumoniae (MIC90s = 0.12 μg/mL). No differences in activity against penicillin-susceptible, -intermediate, or -resistant strains of S. pneumoniae were noted for any of the fluoroquinolones tested. MIC90s for the seven fluoroquinolones ranged from 0.008 to 0.06 μg/mL vs. H. influenzae and from 0.008 to 0.12 μg/mL for M. catarrhalis. The MICs for two strains of S. pneumoniae and one strain of H. influenzae were higher than those for the general population of organisms for all of the fluoroquinolones tested. Finally, the activity of BAY 12-8039 vs. S. pneumoniae was diminished when MIC detns. were performed with incubation of agar dilution plates or broth microdilution trays in 5 to 7% CO2 vs. ambient air.

IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(in vitro activity of BAY 12-8039, novel 8-methoxyquinolone, compared to activities of six fluoroquinolones against Streptococcus pneumoniae, Haemophilus influenzae, and Moraxella catarrhalis)

RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 50 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 51 OF 56 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 127:173703 CA
TITLE: In vitro activity of Bay 12-8039, a new 8-methoxyquinolone, compared to the activities of 11 other oral antimicrobial agents against 390 aerobic and anaerobic bacteria isolated from human and animal bite wound skin and soft tissue infections in humans
AUTHOR(S): Goldstein, Ellie J. C.; Citron, Diane M.; Hudspeth, Marie; Gerardo, Sharon Hunt; Merriam, C. Vreni
CORPORATE SOURCE: R. M. Alden Research Laboratory, Santa Monica-University of California, Santa Monica, CA, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1997), 41(7), 1552-1557
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

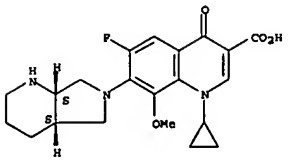
AB The in vitro activity of Bay 12-8039, a new oral 8-methoxyquinolone, was compared to the activities of 11 other oral antimicrobial agents (ciprofloxacin, levofloxacin, ofloxacin, sparfloxacin, azithromycin, clarithromycin, amoxicillin clavulanate, penicillin, cefuroxime, cefpodoxime, and doxycycline) against 250 aerobic and 140 anaerobic bacteria recently isolated from animal and human bite wound infections. Bay 12-8039 was active against all aerobic isolates, both gram-pos. and gram-neg., at ≤1.0 μg/mL (MICs at which 90% of isolates are inhibited [MIC90s] ≤0.25 μg/mL) and was active against most anaerobes at ≤0.5 μg/mL; the exceptions were Fusobacterium nucleatum and other Fusobacterium species (MIC90s, ≥4.0 μg/mL) and one strain of Prevotella loeschii (MICs, 2.0 μg/mL). In comparison, the other quinolones tested had similar in vitro activities against the aerobic strains but were less active against the anaerobes, including peptostreptococci, Porphyromonas species, and Prevotella species. The fusobacteria were relatively resistant to all the antimicrobial agents tested except penicillin G (one penicillinase-producing strain of F. nucleatum was found) and amoxicillin clavulanate.

IT 186826-86-8, Bay 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(in vitro activity of Bay 12-8039, new 8-methoxyquinolone, compared to activities of 11 other oral antimicrobial agents against 390 aerobic and anaerobic bacteria isolated from human and animal bite wound skin and soft tissue infections in h)

RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L11 ANSWER 51 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)



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REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 52 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:140545 CA
TITLE: Pharmaceuticals containing 1-Cyclopropyl-7-[(S,S)-2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-1,4-dihydro-8-methoxy-4-oxo-3-cholinecarboxylic acid hydrochloride
INVENTOR(S): Grunenberg, Alfons; Bosche, Patrick
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

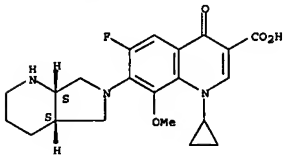
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19546249	A1	19970619	DE 1995-19546249	19951212
HR 960558	B1	20020430	HR 1996-960558	19961125
RO 119782	B1	20050330	RO 1996-2223	19961125
EP 780390	A1	19970625	EP 1996-119134	19961129
EP 780390	B1	20020731		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 221531	E	20020815	AT 1996-119134	19961129
PT 780390	T	20021129	PT 1996-119134	19961129
ES 2179910	T3	20030201	ES 1996-119134	19961129
US 5849752	A	19981215	US 1996-760543	19961205
AU 9674216	A1	19970619	AU 1996-74216	19961206
AU 708006	B2	19990729		
TW 411340	B	20001111	TW 1996-85115048	19961206
IN 185805	A	20010505	IN 1996-DE2723	19961206
CA 2192418	AA	19970613	CA 1996-2192418	19961209
CA 2192418	C	20010612		
JP 09169757	A2	19970630	JP 1996-344502	19961210
IL 119795	A1	19981227	IL 1996-119795	19961210
PL 184885	B1	20030131	PL 1996-317415	19961210
NO 9605298	A	19970613	NO 1996-5298	19961211
ZA 9610405	A	19970623	ZA 1996-10405	19961211
BR 9605968	A	19980818	BR 1996-5968	19961211
RU 2162468	C2	20010127	RU 1996-123410	19961211
CZ 288657	B6	20010815	CZ 1996-3646	19961211
EE 3474	B1	20010815	EE 1996-201	19961211
SK 282805	B6	20021203	SK 1996-1591	19961211
CN 1160052	A	19970924	CN 1996-123220	19961212
CN 1061348	B	20010131		

PRIORITY APPLN. INFO.: DE 1995-19546249 A 19951212

AB A method for preparing the monohydrate of the title drug for pharmaceutical compns. is described. Thus, the title drug (1 g) was dissolved in 150 mL EtOH and the solvent was removed at 60°. The prismatic crystals separated were dried at room temperature Tablets were prepared from the monohydrate 25.1 g and common excipients.
IT 186826-86-8

L11 ANSWER 52 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(pharmaceuticals contg. diazabicyclononyldihydrocholinecarboxylate)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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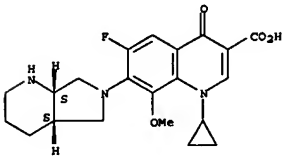
L11 ANSWER 53 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 127:78443 CA
TITLE: Pharmacodynamic properties of BAY 12-8039 on gram-positive and gram-negative organisms as demonstrated by studies of time-kill kinetics and postantibiotic effect
AUTHOR(S): Boswell, F. J.; Andrews, J. M.; Wise, R.
CORPORATE SOURCE: Department Medical Microbiology, City Hospital NHS Trust, Birmingham, B18 7QH, UK
SOURCE: Antimicrobial Agents and Chemotherapy (1997), 41(6), 1377-1379
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Time-kill of BAY 12-8039 were studied at two inocula against three strains each of Bacteroides fragilis, Escherichia coli, Staphylococcus aureus, Haemophilus influenzae, and Streptococcus pyogenes. The postantibiotic effects of BAY 12-8039 were studied on three strains each of E. coli, S. aureus, H, influenzae, Streptococcus pyogenes, and Streptococcus pneumoniae. The pharmacodynamic data demonstrated that BAY 12-8039 has marked activity against gram-pos. and gram-neg. organisms (under both anaerobic and aerobic conditions) and anaerobes. BAY 12-8039 also exhibited a postantibiotic effect of >1 h for all strains except one E. coli strain.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacodynamic properties of BAY 12-8039 on gram-pos. and gram-neg. organisms as demonstrated by studies of time-kill kinetics and postantibiotic effect)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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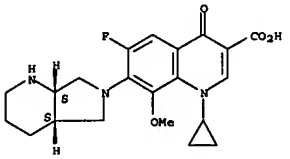
L11 ANSWER 53 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 54 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:340902 CA
TITLE: BAY-12-8039. Fluoroquinolone antibacterial
AUTHOR(S): Martel, A.M.; Leeson, P.A.; Castaner, J.
CORPORATE SOURCE: Prous Science Publishers, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (1997), 22(2), 109-113
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis, in vivo and in vitro antibacterial activity, pharmacokinetics, metabolism, and toxicity of BAY-12-8039 are given.
IT 186826-86-8P, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(synthesis, in vivo and in vitro antibacterial activity, pharmacokinetics, metabolism, and toxicity of BAY-12-8039)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

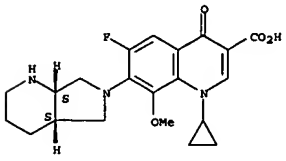
Absolute stereochemistry. Rotation (-).



● HCl

L11 ANSWER 55 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:327944 CA
TITLE: Comparison of the in vitro activities of Bay 12-8039, a new quinolone, and other antimicrobials against clinically important anaerobes
AUTHOR(S): Aldridge, Kenneth E.; Ashcraft, Deborah S.
CORPORATE SOURCE: Dep. Med., Louisiana State Univ. Med. Cent., New Orleans, LA, 70112, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1997), 41(3), 709-711
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Bay 12-8039, a new 8-methoxy quinolone, was compared with other agents for activity against clin. relevant anaerobes. Bay 12-8039 inhibited 91 and 96% of the 410 test isolates at 2 and 4 μg/mL, resp. Bay 12-8039 had activity comparable to that of metronidazole and overall was at least 16-fold more active than ciprofloxacin, ofloxacin, and cefoxitin, 32-fold more active than cefotetan, and at least 128-fold more active than penicillin G.
IT 186826-86-8, Bay 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparison of in vitro activity of Bay 12-8039 quinolone and other antimicrobials against anaerobic bacteria)
RN 186826-86-8 CA
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L11 ANSWER 56 OF 56 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:155007 CA
TITLE: In vitro activity of BAY 12-8039, a new 8-methoxyquinolone
AUTHOR(S): Dalhoff, A.; Petersen, U.; Endermann, R.
CORPORATE SOURCE: Pharma Research Center, Bayer A.-G., Wuppertal, D-42096, Germany
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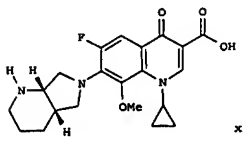
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

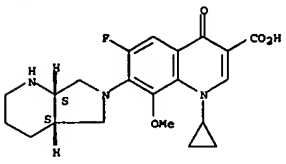


x HCl I

AB BAY 12-8039 (I) is a new 8-methoxyquinolone with antibacterial activity against gram-pos. bacteria which is better than those of sparfloxacin or ciprofloxacin. The minimal inhibitory concns. (MICs) for 90% of methicillin-susceptible Staphylococcus aureus and Staphylococcus epidermidis were 0.062 and 2 mg/l, resp. The MIC90s for ciprofloxacin-resistant, methicillin-susceptible and methicillin-resistant S. aureus were 8 mg/l. Against the staphylococcal strains tested sparfloxacin was 2-fold and ciprofloxacin ≥ 10-fold less active. MIC90s for Streptococcus pneumoniae, Streptococcus pyogenes and Streptococcus agalactiae were 0.125-0.5 mg/l, irresp. of whether strains with diminished ciprofloxacin susceptibility or ciprofloxacin-susceptible strains were tested. Against the streptococci sparfloxacin was 2- to 4-fold less active. Against gram-neg. bacteria I is almost as active as ciprofloxacin, except for Pseudomonas aeruginosa. Against Bacteroides fragilis, Bacteroides spp. and Clostridium spp. BAY I was as active as metronidazole. The bactericidal activity against S. aureus and S. pneumoniae was, in contrast to that of the other quinolones tested, penicillin G, amoxicillin ± clavulanate, cefuroxime and clarithromycin, concentration-dependent. As compared to ciprofloxacin, development of resistance was less pronounced. The spontaneous mutation frequency towards I resistance was 2.8 + 10-8 in Escherichia coli, 7.06 + 10-8 in S. aureus and < 1.4 + 10-9 in S. pneumoniae.
IT 186826-86-8, BAY 12-8039
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimicrobial activity of BAY 12-8039, a new methoxyquinolone)
RN 186826-86-8 CA

L11 ANSWER 56 OF 56 CA COPYRIGHT 2006 ACS on STN (Continued)
CN 3-Quinolinecarboxylic acid,
1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-
[(4aS,7aS)-octahydro-6H-pyrrolo[3,4-b]pyridin-6-yl]-4-oxo-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

```
=> d his

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     FILE 'REGISTRY' ENTERED AT 08:56:11 ON 09 MAR 2006
L1             0 S MOXIFLOXACIN MONOHYDROCHLORIDE/CN
L2             0 S (MOXIFLOXACIN MONOHYDROCHLORIDE)/CN
L3             1 S (MOXIFLOXACIN)/CN
L4             3 S MOXIFLOXACIN
L5             1 S 192927-63-2/RN

     FILE 'REGISTRY' ENTERED AT 08:58:41 ON 09 MAR 2006
L6             1 S 186826-86-8/RN
               SET SMA OFF
               DEL SEL Y
               SEL RN
               SET SMA LOGIN

     INDEX 'MRCK, DIPPR, GMELIN' ENTERED AT 08:59:16 ON 09 MAR 2006
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               DEL SEL Y
               SEL L4 2 RN
L7             1 S E1/RN
               SET TERMSET LOGIN

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L8            33 S L7
L9             0 S 186826-86-8/RN

     FILE 'REGISTRY' ENTERED AT 09:00:44 ON 09 MAR 2006
L10            1 S 186826-86-8/RN

     FILE 'CA' ENTERED AT 09:00:50 ON 09 MAR 2006
L11           56 S L10

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 09:01:46 ON 09 MAR 2006
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